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NEWS EXPRESS JUNE 13 CURRENT MINDOWS VERSION IS V8.0, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JF),
AND CURRENT DISCOVER FILE IS DATED 13 JUNE 2005

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-> FILE REG COST IN U.S. DOLLARS FULL ESTIMATED COST

SINCE FILE ENTRY 0.21

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http://www.cas.org/ONLINE/UG/regprops.html

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Chain nodes:

13 14 15 16 17 18 21

ring nodes:

1 2 3 4 5 6 7 6 9 10 11 12

chain bonds:

5-13 10-14 13-14 14-15 14-21 15-16 15-17
ring bonds:
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12
exact/norm bonds:
5-13 13-14 15-16 15-17
exact bonds:
10-14 14-15 14-21
normalized bonds:
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12
isolated ring systems:
containing 1:7:

Match level: 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom, 12:Atom 11:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 21:CLASS

STRUCTURE UPLOADED

=> que L1

L1 HAS NO ANSWERS

Structure attributes must be viewed using STN Express query preparation.

"> S L1
SAMPLE SEARCH INITIATED 13:22:27 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 987 TO ITERATE

39 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
PROJECTED ITERATIONS: 17856 TO 21624
PROJECTED ANSMERS: 406 TO 1154

39 SEA SSS SAM L1

-> S L1 SSS FULL FULL SEARCH INITIATED 13:22:33 FILE 'REGISTRY' PULL SCREEN SEARCH'COMPLETED - 19846 TO ITERATE

100.0% PROCESSED 19846 ITERATIONS SEARCH TIME: 00.00.01

568 ANSWERS

568 SEA SSS FUL L1

*> FILE CAPLUS COST IN U.S. DOLLARS

SINCE FILE TOTAL FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 13:22:38 ON 10 NOV 2005
USE 18 SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 10 Nov 2005 VOL 143 ISS 20 FILE LAST UPDATED: 9 Nov 2005 (20051109/ED)

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-> S L4 L5 162 L4

=> S L5 AND (RESOL? OR ENANT? OR STEREO? OR ISOME? OR CHIRA?) 496482 RESOL? 83978 ENANT? ' 237060 STEREO?

111603 CHIRA? 17 L5 AND (RESOL? OR ENANT? OR STEREO? OR ISOME? OR CHIRA?)

L6 ANSWER 1 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 2005:587179 CAPLUS DOCUMENT NUMBER: 143:97158

143:97130
Preparation of biphenyl compounds as PPAR 8
agomists, pharmaceuticals containing them, and their

INVENTOR(S): PATENT ASSIGNEE(S): SOURCE:

Preparation agonists, pharmaceuticals containing them, and cure-uses (Chiyama, Katsuya; Riyauchi, Hiroshi; Uno, Shinsaku Sumitomo Pharmaceutical Co., Ltd., Japan Jm. Kokai Tokkyo Koho, 39 pp. CODEN: UKUMAP

DOCUMENT TYPE:

HANGUAGE: Japanese FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE JP 2005179281
PRIORITY APPLN. INFO.:
OTHER SOURCE(S):
GI 20050707 MARPAT 143:97158

Claimed are biphenyl compds. I [R1-R8 = H, OH, (un)substituted C1-6 alkyl, C2-6 alkenyl, C1-6alkoxy, C6-10 arylsulfonyloxy, C5-7 cyclic aminocarbonyl, cyano, halo, etc.; adjacent 2 groups among R1-R8 may be linked to each other to form a condensed benzene, 5-6-membered (un)saturated carbocyclyl optionally containing 1-2 heteroatom; R9 = H, P, (un)substituted C1-6 alkyl, C1-11 acyl, carboxy; R9 and R10 may be linked to form C3-7 cycloalkane ring; R9 and/or R10 = substituent; R11, R12 = H, P, (un)substituted C1-6 alkyl; R11 and R12 may be linked to form C3-7 cycloalkane ring; R1, M2 = O, S. RR16 [R16 = H, (un)substituted C1-6 alkyl]; R13 = carboxy, (un)substituted C2-7 alkoxycarbonyl, C3-7 alkenyloxycarbonyl, carbamoyl, etc.) or their salts. Also claimed are pharmaceuticals, PPAR & activators, blood HDL concentration-increasing agents, spents for treating low blood HDL, and antiatrevioselerotic agents containing I (salts). Thus, (*)-[4-[1-[4-[1uoro-4'-(trifluoromethyl]-1,1'-biphenyl-1-yl]sthoxy]-3-methylphenoxylacetic acid (11), obtained by chiral chromatog. resolution of the racemate which was prepared from 5-bromo-2-fluorobensaldehyde and 4-(trifluoromethyl)phenylboronic acid with 5 steps, showed PPAR&-sgonistic activity at EDS of 14 nM. Oral administration of II to mice for 6 wk showed 28% increase in blood HDL cholesterol concentration S7086-27-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); TBU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of biphenyl compds. as PPAR & agoniste for increasing

(Uses)
(preparation of biphenyl compds. as PPAR & agonists for increasing blood HDL and treating arteriosclerosis)
857086-27-2 CAPIUS
{1,1'-Biphenyl}-3-acetic acid, a-{4-(carboxymethoxy)-3-methylphenoxy|-4-fluoro-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)

MO 2004112774 A1 20041229 WO 2004-US19616 20040618
W: AB, AD, AL, AM, AT, AU, AZ, BA, BB, BO, BR, BM, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DK, DN, DZ, EC, ER, ED, ES, FI, GB, GD,
GS, GR, GR, HR, BU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MD, MX, MN, MM, MX, NZ, NA, NI,
NO, NZ, OM, FG, PH, PL, PT, RO, RU, SC, SD, SS, SG, KS, LS, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZM
RM: BM, GH, GM, KE, LS, MM, AZ, NA, SD, SL, SZ, TZ, UG, ZM, ZM, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
SE, SS, FI, FR, GB, GR, HU, ES, IT, LU, MC, NL, PL, TT, RO, SS,
SI, SK, TR, BP, BJ, CF, CG, CI, CM, GA, GN, GO, GM, ML, MR, NE,
PRIORITY APPLN. INPO.: US 2003-656567 US 2003-600189 US 2003-6589 US 2003-608927P

OTHER SOURCE (S): MARPAT 142:93535

APPLECANTS

A method for preparation of enantiemerically enriched title compds.

(I: R1 = alkyl, haloskyl: X = halo) comprises (1) producing a solution comprising a solid enantiemerically enriched acid-base salt of the first enantiemer by contacting the enantiemeric mixture of the -d (phonoxy) phenylacetic acid with -d. S equiv of an enantiemerically enriched chiral amine under conditions sufficient to produce a ratio of the amount of free first enantiemer: free second enantiemer in the solution of about 1:3; and (2) separating the solid acid-base salt of the first enantiemer from the solution at a temperature where the concentration of an acid-base salt of the second enantiemer of the -(phenoxy)phenylacetic acid compound is near or below its saturation point. Thus, 4-chloro -d -13-trifluoromethylphenoxy)phenylacetic acid (1) and (1R, 2R) -2-mino-1-(4-nitrophenyl)-1.3-propanediol (III) were heated to 70° in MeZEGGH to give a Solution which was cooled at a rate of 0.1*/min. to 6° to give 37.4% (-)-II. III salt [99.01 area% (-)- enantiemer].

23953-79-19. (-)-(-*Chlorophenyl) (3-trifluoromethylphenoxy) acetic acid RL. IMF (Industrial manufacture); PUR (Purification or recovery); RCT

acid
RL: IMF (Industrial manufacture); PUR (Purification or recovery); RCT
(Reactant); SPN (Synthetic preparation); PRSP (Preparation); RACT
(Reactant or reagent)
[resolution of α-(phenoxy)phenylacetic acid derive.)
23953-39-1 CAPLUS
Benzenescetic acid, 4-chloro- α-[3-(trifluoromethyl)phenoxy]-, (-)(9CI) (CA INDEX NAME)

857086-39-6P 857086-42-1P 857086-46-5P
RL: RCT (Reactant); SFM (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of biphenyl compds. as PPAR & agomists for increasing blood HDL and treating arteriosclerosis) 857086-39-6 CAPLUS (1.1'-Biphenyl]-3-acetic acid, a-[4-(2-ethoxy-2-excethoxy)-3-methylphenoxy]-4-fluoro-4'-(trifluoromethyl)-, monoethyl ester (9CI) (CA INDEX NAME)

857086-42-1 CAPLUS [1,1'-Bipheny]|-3-acetic acid, \(\alpha\ta\) {4-(acetyloxy)-3-acethylphenoxy}-4-fluoro-4'-(trifluoromethyl)-, ethyl ester (9CI) (CA INDEX RAMS)

57086-46-5 CAPLUS 1,1'-Biphenyl]-3-acetic acid, 4-fluoro- α-(4-hydroxy-3-thylphenoxy)-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:1156480 CAPLUS
11TILE: Resolution of a-(phenoxy)phenylacetic acid derivatives
Daugs, Edward D.
PATENT ASSIGNEE(8): Metabolex, Inc., USA
SOURCE: COORS: PIXXO2
DOCUMENT TYPS: Patent

DOCUMENT TYPE: Patent English LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

23953-40-4P
RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (resolution of a (phenoxy)phenylacetic ecid derive.)
2353-40-4C ACPLUS
2353-40-4P
(ACPLUS ACPLUS ACP

Potation (a)

26718-25-2, Halofenate
RL: RCT (Reactant); RACT (Reactant or reagent)
(resolution of a -(phenoxy)phenylacetic acid derivs.)
26718-25-2 CAPIUS
Benzeneacetic acid, 4-chloro-a-(3-(trifluoromethyl)phenoxy)-,
2-(acetylamino)ethyl ester (9CI) (CA INDEX NAME) IT

4687-08-5P, (4-Chlorophenyl) (3-trifluoromethylphenoxy)acetic acid 24136-24-1P 24158-91-6P 818375-13-2P 818375-14-1P 818375-15-4P 818375-16-5P 818375-16-5P REP (Preparation); RACT (Reactant) of a complete of a co

24136-24-1 CAPLUS Benzeneactic acid, 4-chloro- α -(3-(trifluoromethyl)phenoxyl-, 2-(acetylamino)ethyl ester, (*)- (9CI) (CA INDEX NAME)

24158-91-6 CAPLUS Cinchonan-9-ol, (8 α, 9R)-, mono((+)-4-chloro-α-[3-(trifluoromethyl)phenoxylbenzeneacetate] (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 23953-40-4 CMF C15 H10 C1 F3 O3

Rotation (+).

CH 2

Absolute stereochemistry.

Absolute stereochemistry. Rotation (+).

818375-15-4 CAPLUS Cinchonan-9-01, 6'-methoxy-, (8 a,9R)-, mono[(-)-4-chloro-a-[3-(crifluoromethyl)phenoxylbenzeneacetate] (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 23953-39-1 CMF C15 H10 C1 F3 O3

CM 3

CRN 130-95-0 CMF C20 H24 N2 O2

818375-16-5 CAPLUS
Benzeneacetic acid, 4-chloro- a-{3-(trifluoromethyl)phenoxy}-, {-}-, compd. with (1R,2R)-2-amino-1-(4-nitrophenyl)-1,3-propanediol (1:1) {9CI} (CA INDEX NAME)

CH 1

CRN 23953-39-1 CMF C15 H10 Cl F3 O3

Rotation (-).

818375-13-2 CAPLUS
L-Tyrosine, hydraxide, mono((+)-4-chloro- a-[3-(trifluoromethyl]phenoxylbenzenescetate) (9CI) (CA INDEX HAME)

CRN 23953-40-4 CMF C15 H10 C1 F3 O3

Rotation (+).

CRN 7662-51-3 CMF C9 H13 N3 O2

Absolute stereochemistry.

818375-14-3 CAPLUS Benzeneacetic acid, 4-chloro- α -(3-(trifluoromethyl)phenoxy)-, (+)-, compd. with (18,28)-2-amino-1-(4-nitrophenyl)-1,3-propanediol (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 23953-40-4 CMP C15 H10 C1 P3 O3

Rotation (+).

CRN 2964-48-9 CMF C9 H12 N2 O4

CM 2

CRN 716-61-0 CMF C9 H12 N2 O4

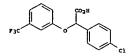
Absolute stereochemistry. Rotation (-).

IT 24136-21-0P 818375-17-6P 818375-10-7P 818375-19-8P RL: SPN (Synthetic preparation); PREP (Preparation) (resolution of α-(phenoxy)phenylacetic soid derivs.)
RN 24136-23-0 CAPLUS
Bentamescetic acid, 4-chloro-α-[3-(trifluoromethyl)phenoxy]-, 2-(scetylamino)ethyl ester, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

CH 1

CRN 23953-40-4 CMF C15 H10 C1 F3 O3



Absolute stereochemistry. Rotation (-).

818375-18-7 CAPLUS
Benzeneacetic acid, 4-chloro-a-[3-(trifluoromethyl)phenoxy]-,
1-methylethyl ester (9CI) (CA INDEX NAME)

818375-19-8 CAPLUS
Benzeneacetic acid, 4-chloro-a-[3-{trifluoromethyl}phenoxy}-, sodium selt (9CI) (CA INDEX NAME)

REPERENCE COUNT:

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 2003:930984 CAPLUS

trifluoromethylphenoxy) acetate RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(preparation and use of (-)-(trihalomethylphenoxy) (halophenyl)acetates for
treatment of insulin resistance, type 2 diabetes, hyperlipidenia and
hyperuricenia)
24136-23-0 CAPLUS
Banaceacetic acid, 4-chloro-α-[3-(trifluoromethyl)phenoxy]-,
24126-23/lamino)ethyl ester, (-)- (9CI) (CA INDEX NAMS)

Rotation (-).

21953-40-4P
RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and use of (-)-(trihalomethylphenoxy)(halophenyl)acetates for treatment of insulin resistance, type 2 diabetes, hyperlipidemia and hyperuricemia)
21953-40-4 CAPLUS
Benzeneacetic acid, 4-chloro- a-{3-(trifluoromethyl}phenoxy}-, (+)-(9CI) (CA INDEK NAME)

Rotation (+).

4687-08-5P, 4-Chlorophenyl(3-trifluoromethylphenoxy)acetic Acid
4923-90-0P, Methyl 4-Chlorophenyl(3-trifluoromethylphenoxy)acetate
24158-91-6P
RL: RCT (Reactant); SPM (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation and use of (-)-(trihalomethylphenoxy) (halophenyl)acetates for
treatment of insulin resistance, type 2 diabetes, hyperlipidemia and
hyperuricemia) hypervicemia)
4687-08-5 CAPLUS
Benzeneactic acid, 4-chloro- g-{3-(trifluoromethyl)phenoxy}- (SCI)
(CA INDEX NAME)

DOCUMENT NUMBER: TITLE:

140:4856
Preparation and use of (-)-(3-trihalomethylphenoxy) (4-halophenyl)acetates for treatment of insulin resistance, type 2 diabetes, hyperlipidenia and hyperuricenia.
Luskey, Kenneth L.; Luo, Jian
Metabolex, Inc., USA, Diatex, Inc.
U.S. Pet. Appl. Publ., 53 pp., Cont.-in-part of U.S.
Ser. No. 724,788.
CODEN: USXECO

INVENTOR (S): PATENT ASSIGNEE (S): SOURCE:

Patent

DOCUMENT TYPE: LANGUAGE: English 5 FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003220399	A1	20031127	US 2003-382186	20030304
US 6262118	81	20010717	US 1999-325997	19990604
US 6613802	81	20030902	US 2000-585907	20000602
US 6646004	B1	20031111	US 2000-703487	20001031
US 6624194	B1	20030923	US 2000-724788	20001128
US 2005075396	A1	20050407	US 2003-660112	20030910
PRIORITY APPLN. INFO.:			US 1999-325997 A	1 19990604
			US 2000-585907 A	2 20000602
			US 2000-703487 A	2 20001031

us 2000-73487 A2 20001331

ER SOURCE(S): MORPAT 140:4856 A2 2000-724788 A2 20001128

A method of treating type II dishetes comprises administration of the (-)stereoiscomer of 4-XC6HCCH(COZR)CC6HCX3-3 (R = OH, sralkoxy,
dishlylaminoslkoxy, slknamnidoslkoxy, benamidoslkoxy, ureidoslkoxy,
slkylureidoslkoxy, carbanoylaikoxy, balophenoxyslkoxy, carbanoylphenoxy,
slkylureidoslkoxy, carbanoylaikoxy, balophenoxyslkoxy, carbanoylphenoxy,
carbonylaikylamino, slkanolyloxyslkylamino, ureido, slkoxycarbonylamino;
ydroxyslkylamino, slkanolyloxyslkylamino, ureido, slkoxycarbonylamino;
Y- halo). Thus, a mixture of DNP, pyridine, and N-scetylethanolamine at
0-5° was treated with a solution of crude (-)-4-chlorophenyl(3trifluoromethylphenoxy)scetyl chloride in ether over 40 min. at
<13°; the mixture was stirred at ambient temperature for 16 h to give 73°
(-)-2-acctamidocthyl 4-chlorophenyl(3-trifluoromethylphenoxy)scettee
[(-)-halofenate]. (-)-Halofenate at 50 mg/kg orally in rate significantly
reduced plasma glucose, while (-)-halofenate did not.
2353-33-1, (-)-4-Chlorophenyl(3-trifluoromethylphenoxy)scetic
acid OTHER SOURCE(S):

acid
RL: PAC (Pharmacological activity); RCT (Reactant); TRU (Therapeutic use);
BIOL (Biological study); RACT (Reactant or resgent); USES (Uses)
(preparation and use of (-)-(trihalomethylphenoxy) (halophenyl)lacetates for
treatment of insulin resistance, type 2 diabetes, hyperlipidemia and
hyperuricemia)
23953-39-1 CAPLUS
Benzenacetci acid, 4-chloro-α-[3-(trifluoromethyl)phenoxy]-, (-)(9CI) (CA INDEX NAME)

IT 24136-23-0P, (-)-2-Acetamidoethyl 4-Chlorophenyl(3-

4925-90-0 CAPLUS Benzeneacetic acid, 4-chloro- α -{3-{trifluoromethyl}phenoxy}-, methyl ester (9CI) (CA INDEX NAME)

24158-91-6 CAPLUS Cinchonan-9-01, $(8 \, \alpha, 9R)$ -, mono[(+)-4-chloro- α -[3-(trifluoromethyl)phenoxy]benzeneacetate] (salt) (9CI) (CA INDEX NAME)

CRN 23953-40-4 CMF C15 H10 C1 F3 O3

CM 1

CM 2

24136-18-4P 24136-24-1P, (+)-2-Acctamidoethyl
4-Chlorophenyl()-trifluoromethylphenoxy)acetate
RL: SPW (Synthetic preparatiom); PREP (Preparation)
(preparation and use of (-)-(tribalomethylphenoxy) (halophenyl)acetates for
treatment of insulin resistance, type 2 diabetes, hyperlipidemia and
hyperuricemia)
24136-19-4 CAPLUS
Cinchoman-9-ol, (8 a.9R)-, mono[(-)-4-chloro-u-[3(trifluoromethyl)phenoxylbenzeneacetate] (selt) (9CI) (CA INDEX NAME)

1

CRN 23953-39-1 CMP C15 H10 C1 F3 O3

Rotation (-).

485-71-2 C19 H22 N2 O

24136-24-1 CAPLUS
Benzeneacetic acid, 4-chloro-α-{3-(trifluoromethyl)phenoxy}-,
2-(acctylamino)ethyl ester, (+)- (9CI) (CA INDEX NAME)

L6 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:
DOCUMENT NUMBER:
1139:276473

TITLE:
Preparation of substituted amides as antagonists and/or inverse agonists of the cannabinoid-1 receptor for therapy
Hagmann, Milliam K.; Lin, Linue S.; Shah, Shrenik K.;
Outhikonda, Ravindra N.; Qi, Mongbo; Chang, Linda L.;
Liu, Ping; Armstrong, Helen M.; Jewell, James P.;
Lanza, Thomas J., Jr.

PATENT ASSIGNEE(8):

invention are useful as centrally acting drugs in the treatment of psychosis, memory deficits, cognitive disorders, migraine, neuropathy, neurosifiematory disorders including multiple sclerosis and Quillain-metroy disorders and the inflammatory sequelae of virial control of the control of

ACCESSION MUMBER: 2003:747893 CAPLUS
DOCUMENT NUMBER: 139:125778
TITLE: Preparation

139:255378
Preparation and use of (-)-(3-trihalomethylphenoxy) (4-halophenyl)acetic ecid derivatives for treatment of insulin resistance, type 2 diabetes, hyperlipidenia, and hyperuricenia Luskey, Kenneth L.; Luo, Jian; Zhao, Zuchun Metabolex, Inc.. USA; Diatex, Inc. U.S., 49 pp., Cont.-in-part of U.S. 6,613,802.
CODEN: USXXAM
Patent

INVENTOR(S): PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6624194	B1	20030923	US 2000-724788	20001128
US 6262118	81	20010717	US 1999-325997	19990604
US 6613802	B1	20030902	US 2000-585907	20000602
CA 2430199	**	20020606	CA 2001-2430199	20011128
WO 2002044113	A2	20020606	WO 2001-US44603	20011128
WO 2002044113	C2	20030501		

PCT Int. Appl., 381 pp. CODEN: PIXXD2 DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: Patent English 1 MILLY ACC. ...

ATENT INFORMATION:

REAL STATEMENT NO. KIND DATE APPLICATION ...

MO 2003077847 A.2 20030925 NO 2003-US7320 20030307 NO 20030977847 A.3 20041044 S. ...

M. AS, AG, AL, AM, AT, AU, AZ, BA, BB, BC, BR, BY, BZ, CA, CH, CH, CD, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, CD, CH, CH, LI, IN, IS, JP, KE, KC, KR, KZ, LC, LK, LR, GH, LI, LU, LU, LV, MA, MD, MG, MK, MN, MM, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, EC, ED, SE, SG, GK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RM: GH, GM, KE, LS, MM, MZ, SD, LS, SZ, TZ, UO, ZM, ZM, AM, AZ, BY, KG, GK, KB, CB, CH, CT, CZ, DE, DK, EE, ES, FI, FR, GB, GR, UI, TI, TM, AT, BE, BG, CH, CT, CZ, DE, DK, EE, ES, FI, FR, BB, BJ, CF, CO, CI, CM, GA, GN, GQ, GM, ML, MR, NE, SN, TD, TG

CA 2478183 AA 20030925 CA 2003-2478183 20030037 EP 2003-714051 20030037 EP 1496638 AJ 2005019 R: AT, BE, CH, DE, DK, EE, FF, FR, BG, GR, UI, LI, LU, NL, SE, MC, PT, TR, SI, LT, LV, FI, RO, NK, CY, AL, TR, BG, CZ, EE, HU, EK

JP 2005519958 T2 20050707 US 2003-187265 20030017 US 2004056820 A1 20051020 US 2003-187265 20030017 PRIORITY APPLN. INPO.:

MARPAT 139:276471

SOURCE:

Novel compds. of the structural formula I (e.g. N-[2,3-bis(4-chlorophenyl)-1-methylpropyl]-2-(pyrazol-1-yl)acetamide trifluoroacetate (base shown as II with relative streachem.); variables defined below are antagonists and/or inverse agonists of the cammabinoid-1 (CBI) receptor (no data) and are useful in the treatment, prevention and suppression of diseases mediated by the CBI receptor. The compds. of the present

WO 2002044113 OTHER SOURCE(S): MARPAT 139:255378

The present invention provides the use of (-)-(1-trihalomethylphenoxy)(4-halophenyl)acetic acid derivs. I [wherein R = OH, alkoxy, heteroalkoxy, aryloxy, heteroaryloxy, aralkoxy, dialkylaminoslkoxy, alkansmidoalkoxy, aryloxy, halophenoxy, carbamoylphenoxy, carbamoylphenoxy, carbonylakylamino, haloalkylamino, byloxyalkylamino, alkanoyloxyalkylamino, ureido, or alkoxycarbonylamino; X = independently halo; or pharmaceutically acceptable salts thereof; and compns. thereof in the treatment of insulin resistance, type I diabetes, hyperlipidemia, and hyperuricemia. The compns. contain the (-)- enantiomer of halofenate analogs I in an enantiomer and exhibit a reduced inhibition of cytochrome P 450 2C9 relative to compns. having about 0%

enantiomeric excess of the (-)-enantiomer. Examples include prepms. for invention compde, and eleven bioassays of halofenic acid, halofenate, and analogs. For instance, (-)-(4-chlorophenyl)(3-trifluoromethylphenoxy)acetyl chloride was coupled with H-acetylethanolamine using a catalytic amount of pyridine in DMF to give (-)-halofenate (II) in 734 yield. The latter lowered glucose most effectively and had effects that persisted longer than the racemate or (-)-enantiomer, offering improvement in insulin resistance and impaired glucose tolerance. 24136-23-19, (-)-2-Acetamidoethyl 4-Chlorophenyl)(3-trifluoromethylphenoxy)acetate 24136-23-19, (-)-2-Acetamidoethyl 4-Chlorophenyl(3-trifluoromethylphenoxy)acetate 24136-23-19, (-)-2-Acetamidoethyl 4-Chlorophenyl(3-trifluoromethylphenoxy)acetate EL. PAC (Pharmacological activity): PKF (Pharmacological activity): PKF (Pharmacological activity): PKF (Pharmacological activity): PKFP (Pharmacological activit

hypervicesia)

24136-23-0 CAPLUS

Renzeneactic acid, 4-chloro- a-[3-(trifluoromethyl)phenoxy]-,

2-(acetylamino)ethyl ester, (-)- (9CI) (CA INDEX NAME)

24116-24-1 CAPLUS Benzeneacetic acid, 4-chloro- α -[3-(trifluoromethyl)phenoxy]-, 2-(acetylamino)ethyl ester, (+)- (9CI) (CA INDEX NAME)

4687-08-5P, 4-Chlorophenyl(3-trifluoromethylphenoxy)acetic acid
23953-39-1P 23953-40-4P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
preparation); TRU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); RACT (Reactant or reagent); USSS (Uses)
(antidiabetic agent; preparation and use of (-)-halofenic acid derivs. for
treatment of insulin resistance, type 2 diabetes, hyperlipidemia, and
hyperuricemia)
4687-08-5 CAPLUS
Benzeneacetic acid, 4-chloro- a-{3-(trifluoromethyl)phenoxyl- (9CI)
(CA INDEX NAMS)

24091-97-2 CAPLUS
Benzeneacetic acid, 4-chloro- a-[3-{trifluoromethyl}phenoxy]-,
2-(benzoylamino)ethyl ester (9CI) (CA INDEX NAME)

24100-51-4 CAPLUS Benzeneacetic acid, 4-chloro- α -[3-(trifluoromethyl)phenoxy]-, 2-amino-2-oxoethyl ester (9CI) (CA INDEX NAME)

312711-00-5 CAPLUS

Benzeneacetic acid, 4-fluoro-α-[3-(trifluoromethyl)phonoxy]-,
2-(acetylamino)ethyl ester (9CI) (CA INDEX NAME)

312711-01-6 CAPLUS
Benzeneacetic acid, 4-bromo- a-[3-(trifluoromethyl)phenoxy]-,
2-(acetylamino)ethyl ester (9CI) (CA INDEX NAME)

Benzeneacetic acid, 4-chloro- α-[3-(trifluoromethyl)phenoxy]-, (-)-(9CI) (CA INDEX NAME) 23953-39-1 CAPLUS

23953-40-4 CAPLUS Benzeneacetic &cid, 4-chloro- a-[3-(trifluoromethyl)phenoxy]-, (+)-(SCI) (CA INDEX NAME)

23953-J9-IDP, (-)-(4-Chlorophenyl)(3-trifluoromethylphenoxy)acetic acid, esters 24091-97-2P 24100-51-4P 312711-00-5P 312711-01-6P 312711-02-7P 312711-03-0P 312711-03-0P 312711-05-0P 312711-05-0P 312711-04-1P 312711-07-2P 312711-08-3P R: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapoutic use); BIOL (Biological study); PREP (Preparation); USES (Usea) (Uses)
(antidiabetic agent; preparation and use of (-)-halofenic acid derivs. for treatment of insulin resistance, type 2 diabetes, hyperlipidemia, and hyperuricemia)
23953-39-1 CAPLUS
Benzeneacetic acid, 4-chloro- a-[3-(trifluoromethyl)phenoxy]-, (-)-(9C1) (CA INDEX NAME)

Rotation (-).

312711-02-7 CAPLUS Benzenescetic scid, 4-chloro- α -[3-(trifluoromethyl)phenoxy]-, butyl ester (9C1) (CA INDEX NAME)

312711-03-8 CAPLUS
Benzeneacetic acid, 4-chloro- a-[3-(trifluoromethyl)phenoxy]-,
2-(dimethylmino)ethyl ester (9CI) (CA INDEX NAME)

312711-04-9 CAPLUS

Benzeneacetic ceid, 4-chloro-a-[3-(trifluoromethyl)phenoxy]-,
2-(dienthylamino)-2-oxocthyl ester (9CI) (CA INDEX NAME)

312711-05-0 CAPLUS Benzeneacetic acid, 4-bromo- α -[3-{trifluoromethyl}phenoxy}-, 2-{acetylamino}ethyl ester, (-)- (9CI) (CA IMDEX NAME)

312711-06-1 CAPAUS
Benzeneactic scid, 4-chloro-a-{3-(trifluoromethyl)phenoxy}-,
2-(benzylamino)ethyl ester, (-)- (9CI) (CA INDEX NAME)

312711-07-2 CAPLUS
Benzeneactic acid, 4-chloro- a-[3-(trifluoromethyl)phenoxy]-,
2-mino-2-oxoochyl ester, (-)- (9CI) (CA INDEX NAME)

312711-08-3 CAPLUS
Bensenacetic acid, 4-chloro-a-[3-(trifluoromethyl)phenoxy]-,
2-(dimethylamino)-2-oxoethyl ester, (-)- (9CI) (CA INDEX NAME)

CM 2

24158-91-6 CAPLUS Cinchonan-9-0.1, $(8\alpha,9R)$ -, mono((*)-4-chloro- α -(3-(trifluoromethyl)phenoxylbenzeneacetate (8α) (CA INDEX NAME)

CRN 23953-40-4 CMF C15 H10 C1 F3 O3

Rotation (+).

CRN 485-71-2 CMF C19 H22 N2 O

REFERENCE COUNT:

THERE ARE 75 CITED REPERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 2003:688968 CAPLUS DOCUMENT NUMBER: 139:207799

26718-25-2P, 2-Acetamidoethyl 4-Chlorophenyl(3trifluoromethylphenoxylacetate
RL: PEP (Physical: engineering or chemical process); PYP (Physical
process); SPN (Synthetic preparation); PREP (Preparation); PROC (Process)
(intermediate; preparation and use of (-)-halofenic acid derive, for
treatment of insulin resistance, type 2 diabetes, hyperlipidemia, and
hyperuricemia)
26718-25-2 CAPUS
Benzensecute acid, 4-chloro-a-[3-(trifluoromethyl)phenoxy)-,
2-(acetylamino)ethyl ester (9CI) (CA INDEX NAME)

4923-90-0P, Methyl 4-Chlorophenyl(3-trifluoromethylphenoxy)acetate 24136-19-4P 24136-91-6P
RL: RCT (Reactant): SPM (Synthetic preparation): PREP (Preparation); RACT (Reactant or resgent)
(intermediate; preparation and use of (-)-halofenic acid derive. for treatment of insulin resistance, type 2 diabetes, hyperlipidemia, and hyperuricemia)
4923-90-0 CAPULS
Benneneacetic acid, 4-chloro-α-(3-(trifluoromethyl)phenoxy)-, methyl ester (9CI) (CA INDEX NAME)

24136-19-4 CAPLUS Cinchonan-9-ol, $\{8\,\alpha,9R\}$ -; mono[(-)-4-chloro- α -{3-(trifluoromethyl)phenoxy|benzeneacetate] (salt) [9CI) (CA INDEX NAME)

CM 1

CRN 23953-39-1 CMF C15 H10 C1 F3 O3

Rotation (-).

TITLE:

Preparation and use of (-)-(3-trihalomethylphenoxy) (4-halophenyl) acetic acid derivatives for treatment of insulin resistance, type 2 diabetes, byperlipidemia, and hypervicemia Luskey, Kenneth L.; Luo, Jian Metabolex, Inc., USA; Diatex, Inc. U.S., 45 pp., Cont.-in-part of U.S. Ser. No. 325,997. CODEN: USEXAM PACENT Register Register

INVENTOR(S): PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: PAMILY ACC. NUM. COUNT: PATENT INFORMATION:

OTHER SOURCE(S):

PATENT NO. APPLICATION NO. PATENT NO.

US 6613802
US 6262118
ZA 2003000889
NZ 528266
US 6624194
ZA 2001009971
US 2003203199
PRIORITY APPLN. INFO.: KIND DATE DATE US 2000-585907
US 1999-325997
ZA 2003-888
US 2000-5889
WZ 2000-528266
US 2000-528266
US 2000-3973
US 2003-382186
US 1999-325997
US 2000-585907
US 2000-724788 B1 B1 A A A B1 20030902 20010717 20040422 20040622 20050729 20030923 20030204 20000602 19990604 20000602 20000602 20000602 20001128 20011204 20030304 A2 19999604 A2 20000602 A2 20001031 A2 20001031 A A1 20031127

MARPAT 139:207799

The present invention provides the use of (-)-(3-trihalomethylphenoxy) (4-halophenyl) sectic acid derivs. I [wherein R = OH, aralkoxy, alkylaminoalkoxy, whananidoalkoxy, bennamidoalkoxy, useridoalkoxy, alkylureidoalkoxy, carbamoylalkoxy, balophenoxyalkoxy, carbamoylalhoxy, carbomylalkoxy, carbamoylalkoxy, carbomylalkylamino, dalkylamino, haloalkylamino, hydroxyalkylamino, alkanoyloxyalkylamino, ureido, or alkoxycarbomylamino; x = independently halo; or pharmaceutically acceptable salts thereof] and compns. thereof in the treatment of insulin resistance, type 2 diabetes.

hyperlipidemia, and hyperuricemia. The compns. contain the (-)enantiomer of halofenate analogs I in an enantiomeric
excess of at least 60% relative to the (-)- enantiomer and
exhibit a reduced inhibition of cytochrome P 450 20% relative to compns.
having about 0% enantiomeric excess of the (-)enantiomers. Examples include prepns. for invention compds. and
eleven bioessays of halofenic acid, halofenate, and enalogs. For
instance, (-)-(4-chlorophenyl) [3-trifluoromethylphenoxy)scetyl chloride
was coupled with M-acetylethanolamine using a catalytic amount of pyridine
in DBV to give (-)-halofenate (II) in 733 yield. The latter lowered
glucose most effectively and had effects that persisted longer than the
racemate or (-)- enantiomer, offering improvement in insulin
resistance and impaired glucose tolerance.
24136-23-09, (-)-2-Acetanidecthyl 4-Chlorophenyl (3trifluoromethylphenoxy)scetate 24136-24-19, (*)-2-Acetanidoethyl
4-Chlorophenyl (3-trifluoromethylphenoxy)scetate
RL: PAC (Pharmacological activity): PRT (Pharmacokinetics); SPN (Synthetic
preparation); USES (Uses)
(Preparation); USES (Uses)
(antidiabetic agent; preparation and use of (-)-halofenic acid derivs. f

reparation); USES (Uses)
(antidiabetic agent; preparation and use of (-)-halofenic acid derivs. for treatment of insulin resistance, type 2 diabetes, hyperlipidemia, and

hyperuricemia) 24136-23-0 CAPLUS Benzeneacetic acid, 4-chloro- α - [3-(trifluoromethyl)phenoxy]-, 2-(acetylamino)ethyl ester, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

24136-24-1 CAPLUS
Benzeneacetic acid, 4-chloro-α-(3-(trifluoromethyl)phenoxy)-,
2-(acetylamino)ethyl ester, (+)- (9CI) (CA INDEX NAMS)

4687-08-5P, 4-Chlorophenyl(3-trifluoromethylphenoxy)acetic acid 2353-39-1P 23953-40-4P RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); TRU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USSS (Uses) (antidiabetic agent; preparation and use of (-)-halofenic acid derivs. for treatment of insulin resistance, type 2 diabetes, hyperlipidemia, and hyperuricemia) 4687-08-5 CAPLUS Benzeneacetic acid, 4-chloro-α-{3-(trifluoromethyl)phenoxy}- (9CI) (CA INDEX NAME)

23953-39-1 CAPLUS
Benzeneacetic acid, 4-chloro- g-{3-(trifluoromethyl)phenoxy}-, (-)-(9CI) (CA INDEX NAME)

23953-40-4 CAPLUS Benzeneacetic acid, 4-chloro- α -{3-(trifluoromethyl)phenoxy}-, (+)-(9CI) (CA INDEX NAME)

Rotation (+).

23953-39-1DP, (-)-(4-Chlorophenyl)(3-trifluoromethylphenoxy)acetic acid, estere 24091-97-2P 24100-51-4P 312711-00-59 312711-01-69 312711-03-0P 312711-03-0P 312711-03-0P 312711-03-0P 312711-04-1P 312711-05-0P 312711-06-1P 312711-07-2P 312711-08-1P (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (Uses) (antidiabetic agent; preparation and use of (-)-halofenic acid derivs. for treatment of insulin resistance, type 2 diabetes, hyperlipidemia, and hyperuricenia) 23953-39-1 CAPLUS Benzeneacetic acid, 4-chloro- α-[3-(trifluoromethyl)phenoxy]-, (-)-(9C1) (CA INDEX NAUG)

Rotation (-).

24091-97-2 CAPLUS Benzeneacetic acid, 4-chloro- α -{3-(trifluoromethyl)phenoxy}-, 2-(benzoylamino)ethyl ester (9CI) (CA INDEX NAME)

24100-51-4 CAPLUS Benzeneactic acid, 4-chloro- α -[3-(trifluoromethyl)phenoxy]-, 2-mino-3-oxocethyl ester (9CI) (CA INDEX NAME)

312711-00-5 CAPLUS
Benzeneactic acid, 4-fluoro-a-[3-(trifluoromethyl)phenoxy]-, 2-(acetylamino)ethyl ester (9CI) (CA INDEX NAME)

312711-01-6 CAPLUS
Benzeneacetic acid, 4-bromo- q-[3-(trifluoromethyl)phenoxy]-,
2-(acetylamino)ethyl ester (9CI) (CA INDEX NAME)

312711-02-7 CAPLUS Benzeneacetic acid, 4-chloro- α -[3-(trifluoromethyl)phenoxy]-, butyl ester (9CI) (CA INDEX NAME)

312711-03-8 CAPLUS

Benzeneacetic acid, 4-chloro- a-[3-(trifluoromethyl)phenoxy]-,
2-(dimethylamino)ethyl ester (9CI) (CA INDEX NAME)

312711-04-9 CAPLUS
Benzeneacetic acid, 4-chloro- \u03c4-\u

312711-05-0 CAPLUS Benzeneacetic acid, 4-bromo- α -{3-(trifluoromethyl)phenoxy}-, 2-(acetylamino)ethyl ester, (-)- (9CI) (CA INDEX NAME)

312711-06-1 CAPLUS
Benzeneacetic acid, 4-chloro- a-[3-{trifluoromethyl}phenoxy}-,
2-(benzoylamino)ethyl ester, (-)- (9CI) (CA INDEX NAME)

312711-07-2 CAPLUS

Benzeneacetic acid, 4-chloro-a-[3-(trifluoromethyl)phenoxy]-,
2-mino-2-oxoethyl ester, (-)- (SCI) (CA INDEX NAME)

312711-08-3 CAPLUS Benzeneacetic acid, 4-chloro- α -[3-(trifluoromethyl)phenoxy]-, 2-(dimethylamino)-2-oxoethyl ester, (-)- (9CI) (CA INDEX NAMS)

CM 2

24158-91-6 CAPLUS Cinchonan-9-ol, (8 α,9R)-, mono[(+)-4-chloro-α-[3-(trifluoromethyl)phenoxylbenzeneacetate] (salt) (9CI) (CA INDEX NAME)

CRN 23953-40-4 CMF C15 H10 C1 F3 O3

Rotation (+).

CRN 485-71-2 CMF C19 H22 N2 O

REFERENCE COUNT:

THERE ARE 73 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2005 ACS ON STN ACCESSION MUMBER: 2002:428842 CAPLUS DOCUMENT NUMBER: 137:15795

26718-25-2P, 2-Acetanidoethyl 4-Chlorophenyl(3trifluorosethylphenoxylacetate
RL: PEP (Physical, engineering or chemical process); PYP (Physical
process); SPN (Synthetic preparation); PREP (Preparation); PREC (Process)
(intermediate; preparation and use of (-1)-halefenic acid derive, for
treatment of insulin resistance, type 2 diabetes, hyperlipidenia, and
hyperuricenia)
26718-25-2 CAPUIS
Benzemecetic acid, 4-chloro-u-{3-(trifluorosethyl)phenoxyl-,
2-(acetylamino)ethyl ester (9CI) (CA INDEX NAME)

4925-90-0P, Methyl 4-Chlorophenyl(3-trifluoromethylphenoxy)acetate 24136-19-4P 24136-91-6P RE: RCT (Reactant): SPN (Synthetic preparation): PREP (Preparation): RACT (Reactant or resgent) (intermediate; preparation and use of (-)-balofenic acid derive. for treatment of insulin resistance, type 2 diabetes, hyperlipidemia, and hyperuricenia)

hypertricenta)
4925-90-0 CAPLUS
Benzeneacetic acid, 4-chloro- α-(3-(trifluoromethyl)phenoxy)-, methyl
ester (9CI) (CA INDEX NAME)

24136-19-4 CAPLUS Cinchonan-9-ol, $(8 \, \alpha, 9R)$ -, mono $\{(-)$ -4-chloro- α - $\{3$ -(trifluoromethyl)phenoxy|benzeneacetate| (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 23953-39-1 CMP C15 H10 C1 P3 O3

Rotation (-).

Use of (-)-(3-halomethylphenoxy)-(4-halophenyl)acetic acid derivatives for treatment of insulin resistance, type 2 diabetes, hyperlipidemia, and hyperuricemia, and preparation thereof Lusky, Kenneth L.; Luo, Jian; Zhao, Zuchun Metabolex, Inc., USA PCT Int. Appl., 133 pp. CODEN: PIXXD2 Patent Spirit Pix TITLE:

INVENTOR (S): PATENT ASSIGNEE (S) : SOURCE:

DOCUMENT TYPE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

						DATE										
						2002										
						2003			-	001-	0344	003		•	0011	140
						2003										
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						IN,										
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					YU,		-									
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						TM,										
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EP						2003										
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						RO,										
						2004										
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									MFO 2	DO1-	US44	603	1	# 21	0011	128

US 2000-555907 A2 20000602

OTHER SOURCE(S): MARPAT 137:15795

The invention provides the use of (-)-(3-halomethylphenoxy)

(4-halophenyl)acetic acid derive: and compns: in the treatment of insulin resistance. Type 2 diabetes, hyperlipidemia and hyperuricemia. It further provides (-)-(3-halomethylphenoxy)-(4-halophenyl) acetic acid derive: that are useful for the treatment of insulin resistance. Type 2 diabetes, hyperlipidemia and hyperuricemia.

IT 26718-25-2

RI: PAC (Pharmacological activity); BIOL (Biological study)

((-)-(3-halomethylphenoxy)-(4-halophenyl)acetic acid deriva: for treatment of insulin resistance, type 2 diabetes, hyperlipidemia, and hyperuricemia.

RN 26718-25-2 CAPLUS

Benzenacetic acid, 4-chloro-a-(3-(trifluoromethyl)phenoxy)-,

2-(acetylamino)ethyl ester (9CI) (CA INDEX NAME)

24136-22-9F 24136-23-0F 24136-24-1F
312711-06-1F 312711-08-3F 433927-57-2F
433927-59-4F 433927-60-7F 433927-61-8F
433927-62-9F 433927-60-7F 433927-61-8F
433927-62-9F 433927-63-9F 433927-61-8F
433927-63-6F 433927-73-9F 433927-73-1F
433927-74-3F 433927-73-9F 433927-73-1F
433927-74-7F 433927-73-8F 433927-73-1F
433927-74-7F 433927-73-8F 433927-80-1F
433927-81-2F 433927-82-3F 433927-80-1F
433927-81-1F 433927-82-3F 433927-83-4F
(Preparation); USES (Uses)
((-)-(3-halcoschylphenoxy)-(4-halophenyl)acetic acid derivs. for treatment of insulin resistance, type 2 diabetes, hyperlipidemia, and hyperuricemia, and preparation thereof)
2136-21-9 CAPUS
Benzenescetic acid, 4-chloro-α-[3-(trifluoresethyl)phenoxy]-, phenylmethyl ester, (-)- (SCI) (CA INDEX NAMS)

Rotation (-).

24136-23-0 CAPLUS
Benzeneacetic acid, 4-chloro- α-[3-(trifluoromethyl)phenoxy]-,
2-(acetylamino)ethyl ester, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

24136-24-1 CAPLUS Benzeneacetic acid, 4-chloro- α -[3-(trifluoromethyl)phenoxy]-, 2-(acetylamino)ethyl ester, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

433927-60-7 CAPLUS

Benzeneacetic acid, 4-chloro-α-[3-(trifluoromethyl)phenoxy]-,
2-[(2-thienylcarbonyl)mino|ethyl ester, (-)- (9CI) (CA INDEX NAMS)

Rotation (-).

433927-61-8 CAPLUS
Benzeneacatic acid, 4-chloro- a-[3-(trifluoromethyl)phenoxy]-,
2-(4-morpholinyl)-2-oxoethyl ester, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

433927-62-9 CAPLUS
Benzeneacetic acid, 4-chloro-α-[3-(trifluoromethyl)phenoxy]-, ethyleater, (-)- (SCI) (CA INDEX NAMS)

312711-06-1 CAPLUS
Benzeneacetic ceid, 4-chloro- a-[3-(trifluoromethyl)phenoxy]-,
2-(benzoylemino)ethyl ester, (-)- (SCI) (CA INDEX NAME)

312711-08-3 CAPLUS Benzeneactic acid, 4-chloro- α -{3-(trifluoromethyl)phenoxy}-, 2-(disetylamino)-2-oxoethyl ester, (-)- (9CI) (CA INDEX KNMS)

433927-57-2 CAPLUS Benzeneacetic acid, 4-chloro- α -[3-(trifluoromethyl)phenoxy]-, 2-methoxyethyl ester, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

433927-59-4 CAPLUS
Benzeneacetic acid, 4-chloro- α-(3-(trifluoromethyl)phenoxy)-,
2-propenyl ester, (-)- (9CI) (CA INDEX NAMS)

Rotation (-).

433927-63-0 CAPLUS
Benzencecetic acid, 4-chloro- a-{3-(trifluoromethyl)phenoxy}-,
2-([sethylsulfonyl)amino]ethyl ester, (-)- (SCI) (CA INDEX NAME)

433927-64-1 CAPLUS
Benzeneacetic acid, 4-chloro-α-[3-(trifluoromethyl)phenoxy]-,
2-chtoxy-2-oxocthyl ester, (-)- (9CI) (CA INDEX NAME)

433927-65-2 CAPLUS Benzeneacetic acid, 4-chloro- α -[3-(trifluoromethyl)phenoxy}-, 2-(1-ezetidinyl)-2-oxoethyl ester, (-)- (9CI) (CA INDEX NAME)

RN 433927-66-3 CAPLUS

Senzeneacetic ecid, 4-chloro- g-[3-(trifluoromethyl)phenoxy]-,
2-hydroxyethyl ester, (-)- (9CI) (CA INDEX RAME)

Rotation (-)

RN 43397-67-4 CAPLUS
CN Benzeneacetic acid, 4-chloro- α-[3-(trifluoromethyl)phenoxy]-,
2-[(2-methyl-1-oxopropyl)amino|ethyl ester, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

RN 433927-69-6 CAPLUS
CN Benzeneacetic acid, 4-chloro- a-{3-(trifluoromethyl)phenoxy}-,
2-(128)-2-(aminocarbonyl)-1-pyrrolidinyl]-2-oxoethyl ester (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

RN 433927-76-5 CAPLUS
CN Benzeneacetic acid, 4-chloro-α-[3-(trifluoromethyl)phenoxy]-,
2-(3-pyridinylcarbonyl)amino]ethyl ester, (-)- (9CI) (CA INDEX NAME)

Rotation (-)

RN 433927-77-6 CAPLUS
CN Benzeneacetic ecid, 4-chloro-α-[3-(trifluoromethyl)phenoxy]-,
2-[[(phenylmethoxy)carbonyl]amino]ethyl ester, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

RN 433927-78-7 CAPLUS
CN Benzeneacetic acid, 4-chloro- a-(3-(trifluoromethyl)phenoxy)-,
2-[[(ethylamino)carbonyl]amino]ethyl ester, (-)- (9CI) (CA INDEX NAME)
Rotation (-).

RN 433927-70-9 CAPLUS
CN Benzeneacetic scid, 4-chloro- a-{3-(trifluoromethyl)phenoxy}-,
2-[(methoxyacetyl)amino]ethyl ester, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

RN 433927-72-1 CAPLUS
CN Benzeneacetic acid, 4-chloro- α-[3-(trifluoromethyl)phenoxy]-,
3-pyridinylmethyl ester, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

RN 433927-74-3 CAPLUS
CN L-Proline, 1-([[(4-chlorophenyl)[3-(trifluoromethyl)phenoxy]scetyl]oxylacetyl]-, ethyl ester (9Cl) (CA INDEX NAME)

Absolute stereochemistry.

RN 433927-79-8 CAPLUS
CN Benzeneacetic acid, 4-chloro- a-[3-(trifluoromethyl)phenoxy]-,
2-(acetyloxy)ethyl ester, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

RN 433927-80-1 CAPLUS
CN Benzeneactic acid, 4-chloro-q-[3-(trifluoromethyl)phenoxy]-,
[5-sethyl-2-oxo-1,3-dioxol-4-yl)methyl eater, (-)- (9C) (CA INDEX NAME)

Rotation (-).

RN 433927-81-2 CAPLUS
CN Benzeneacetic acid, 4-chloro- a-{1-(trifluoromethyl)phenoxyl-, phenyl ester, (-)- (951) (CA INDEX NAME)

433927-82-3 CAPLUS

Benzenescetic seid. 4-chloro- a-[3-(trifluoromethyl)phenoxy]-,
2-[(4-pyridinylcarbomyl)mmino]ethyl ester, (-)- (SCI) (CA INDEX NAME)

43397-83-4 CAPLUS
(Olycine, 2-[[(4-chloropheny1)[3-(trifluoromethy1)phenoxy]acety1]oxy]ethyl
ester, (-)- [9CI) (CA INDEX ROWE)

433933-85-8 CAPLUS
Benzeneacetic acid, 4-chloro- a-[3-(trifluoromethyl)phenoxy]-,
1-[[(cyclohaxyloxy)carbonyl]oxy]ethyl ester, (-)- (9CI) (CA INDEX NAME)

Rotation (-). Currently available stereo shown.

IT 433927-56-1P 433927-58-3P 433933-86-9P

(Biological study); USES (Uses)

((-)-(3-halomethylphenoxy)-(4-halophenyl)acetic acid derivs. for treatment of insulin resistance, type 2 diabetes, hyperlipidemia, and hyperuricemia, and preparation thereof)

24091-97-2 CAPLUS
Benzeneacetic acid, 4-chloro- a-(3-(trifluoromethyl)phenoxy}-, 2-(benzoylamino)ethyl ester (9CI) (CA INDEX NAME)

24100-51-4 CAPLUS
Benzeneacetic acid, 4-chloro-a-[3-(trifluoromethyl)phenoxy}-,
2-amino-2-oxoethyl ester (9CI) (CA INDEX NAME)

312711-00-5 CAPLUS Benzeneacetic acid, 4-fluoro- α -[3-(trifluoromethyl)phenoxy]-, 2-(acetylamino)ethyl ester (9CI) (CA INDEX NAME)

312711-01-6 CAPLUS
Benzeneacetic acid, 4-bromo- a-{3-(trifluoromethyl)phenoxy}-,
2-(acetylamino)ethyl ester (9CI) (CA INDEX NAME)

312711-02-7 CAPLUS Benzeneacetic acid, 4-chloro- α -[3-{trifluoromethyl}phenoxy}-, butyl

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (uee)
((-)-(3-halomethylphenoxy)-(4-halophenyl)acetic acid derivs. for
treatment of insulin resistance, type 2 diabetes, hyperlipidemia, and
hyperuricemia, and preparation thereof)
433927-56-1 CAPLUE
Benzeneacetic acid, 4-chloro-a-(3-(trifluoromethyl)phenoxy)-,
2-[(ethoxycarbonyl)amino]ethyl ester, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

433927-58-3 CAPLUS
Benzenescetic acid, 4-chloro- a-{3-(trifluoromethyl)phenoxy}-,
2-propynyl ester, {-)- (9CI) (CA INDEX NAME)

Rotation (-).

433933-86-9 CAPLUS Benzeneacetic acid, 4-chloro- α -[3-(trifluoromethyl)phenoxy]-, 2-pyrrolidinylmethyl ester, (-)- (9CI) (CA INDEX NAME)

Rotation (+). Currently available stereo shown.

24091-97-2 24100-51-4 312711-00-5 312711-01-6 312711-02-7 312711-03-8 312711-04-9 312711-05-0 312711-07-2 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

ester (9C1) (CA INDEX NAME)

312711-03-8 CAPLUS Benzeneacetic acid, 4-chloro- α -(3-(trifluoromethyl)phenoxy}-, 2-(dimethylamino)ethyl ester (9CI) (CA INDEX NAME)

312711-04-9 CAPLUS
Benzeneacetic acid, 4-chloro- a-[3-(trifluoromethyl)phenoxy]-,
2-(dimethylamino)-2-exocthyl ester (9CI) [CA INDEX NAME]

312711-05-0 CAPLUS Benzeneacetic acid, 4-bromo- α -[3-(trifluoromethyl)phenoxy]-, 2-(acetylamino)ethyl ester, (-)- (9CI) (CA INDEX NAMS)

Rotation (-).

312711-07-2 CAPLUS
Benzeneacatic acid, 4-chloro- α-{3-{trifluoromethyl}phenoxy}-,
2-anino-2-oxoethyl ester, (-)- (9CI) (CA INDEX NAME)

23953-39-19
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); TRU (Therapeutic use); BIOL (Biological atudy); PREP (Preparation): RACT (Reactant or reagent); USES (Uses) (preparation and reaction; (-)-(3-halomethylphenoxy)-(4-halophenyl)acetic acid derive. for treatment of insulin resistance, type 2 diabetes, hyperlipidemia, and hyperuricemia, and preparation thereof)
23953-39-1 CAPLUS
Benzeneacetic acid, 4-chloro- α-(3-(trifluoromethyl)phenoxy)-, (-)-(9CI) (CA INDEX NAME)

4587-08-5P 4925-90-0P 23953-40-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (Preparation and reaction; (-)-(3-halomethylphenoxy)-(4-halophenyl)acetic acid derive. For treatment of insulin resistance, type 2 diabetes, hyperlyidemia, and hyperuricemia, and preparation thereof) 4587-08-5 CAPLUS Benzeneacetic'acid, 4-chloro-α-[3-(trifluoromethyl)phenoxy]- (9CI) (CA INDEX NAME)

4925-90-0 CAPLUS Benzeneacetic acid, 4-chloro- α -[3-(trifluoromethyl)phenoxy]-, methyl ester (9CI) (CA INDEX NAME)

FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

	TENT																
WO	2000	0746	66		A2		2000	1214		WO :	2000-	US15	235		- 2	0000	602
WO	2000	0746	66		A3		2001	1108									
	W:	AB,	AG,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB	, BG,	BR,	BY,	CA,	CH,	CN,	CR.
											, GB,						
											, K2,						
											NO.						
											TZ,						
											. TJ.		,	,	,	,	,
	RW:										TZ,		ZW.	AT.	BE.	CH.	CY.
											LU,						
											NE.						
US	6262															9990	604
CA	6262 2371	723			AA		2000	1214		CA :	2000-	2371	723		-	0000	602
BR	2000	0113	42		A		2002	0305		BR :	2000-	1134	2			0000	602
EP	1183	020			A2		2002	0306		ED :	2000-	9380	74		-	0000	602
											IT.						
							RO				,		,	,	,		,
JP	2003							0114		JP 2	2001-	5012	03		2	0000	602
	5159															0000	
ZA	2003	0008	88		A			0422			2003-					0000	
	2003						2004	0622			2003-						
	7759										2000-						
	5282							0729			1000-						
	2001																
ZA	2001	0099	73		Ä		2003	0204			2001-					0011	
PRIORIT					••						1999-						
											2000-1						

R: SOURCE(S): MARPAT 134:37035

The invention provides the use of (-)-(3-trihalomethylphenoxy) (4-halophenyl)acetic acid derivs. [e.g. (-)-halofenate) and compus. in the treatment of insulin resistance, Type 2 diabetes, hyperlipidemia and hyperuricemis. Compound preparation is described.

4235-90-07

RL: RCT (Reactant): SPN (Synthetic preparation): PREP (Preparation): RACT (Reactant or resgent)

(preparation and reaction; trihalomethylphenoxy halophenyl acetate vative OTHER SOURCE (S):

derivative

varive for treatment of insulin resistance, type 2 diabetes, hyperlipidemia and hyperuricemia) 4925-90-0 CAPLUS Benzeneacetic acid, 4-chloro-α-[3-(trifluoromethyl)phenoxy]-, methyl ester (9C1) (CA INDEX ANMS)

26718-25-2
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(trihalomethylphenoxy halophenyl acetate derivative for treatment of IT

23953-40-4 CAPLUS

Bentenneacetic acid, 4-chloro- q-[3-(trifluoromethyl)phenoxy]-, (*)(SCI) (CA INDEX NAME)

Rotation (+).

433927-55-0

RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction; (-).-(3-halomethylphenoxy)-(4-halophenyl)acetic acid derivs.
for treatment of insulin resistance, type 2 diabetes, hyperlipidemia,
and hyperuricemia, and preparation thereof)
433927-55-0 CAPMS
Benzeneacetic acid, 4-chloro-a-(3-(trifluoromethyl)phenoxy]-, cesium
salt. (-)- (9CI) (CA INDEX NAME)

Rotation (-).

L6 ANSWER 8 OF 17
ACCESSION NUMBER:
DOCUMENT NUMBER:
TITLE:

INVENTOR(8):
PATENT ASSIGNEE(8):
SOURCE:
DOCUMENT TYPE:

CAPLUS COPYRIGHT 2005 ACS on STN
2000:880950 CAPLUS
134:17905
Use of (-) -(3-trihalomethylphenoxy) (4-theory of the condition of the condition

insulin resistance, type 2 diabetes, hyperlipidemia and hyperuricemia) 26718-25-2 CAPLUS Benzeneacetic acid, 4-chloro- α -(3-(trifluoromethyl)phenoxy}-, 2-(acetylamino)ethyl ester (9CI) (CA INDEX NAMS)

24136-24-1P
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SFN (Bynthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process) (trifalcomethylphenoxy halophenyl acetate derivative for treatment of insulin resistance, type 2 diabetes, hyperlipidemia and hyperuricemia) 24136-24-1 CAPLUS
Benzeneacetic acid, 4-chloro-α-[3-(trifluoromethyl)phenoxy]-, 2-(acetylamino)ethyl ester, (*)- (9CI) (CA INDEX NAME)

Rotation (+).

24136-23-0P
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSV (Biological study, unclassified); SFN (Synthetic preparation); FRC (Process); USES (Uses) (Preparation); FRC (Process); USES (Uses) (trihalosethylphenoxy halophenyl acetate derivative for treatment of insulin resistance, type 2 diabetes, hyperlipidemia and hyperuricemis) 24136-23-0 CAPUS
Benzenacatic acid, 4-chloro-a-[3-(trifluorosethyl)phenoxy)-, 2-(acetylamino)ethyl ester, (-)- (9CI) (CA INDEX NAME)

4687-08-5P 23953-39-1P 23953-40-4P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PUR (Purification or recovery); RCT (Reactant); SPW (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT

(Reactant or reagent)
(trihalomethylphenoxy halophenyl scetate derivative for treatment of insulin resistance, type 2 diabetes, hyperlipidemia and hyperuricemia)
4687-08-5 CAPLUS
Benzeneacetic acid, 4-chloro- a-[3-(trifluoromethyl)phenoxy]- (9CI)
(CA INDEX ROWE)

23953-39-1 CAPLUS Benzeneacetic acid, 4-chloro- a-{3-(trifluoromethyl)phenoxy}-, (-)-(SCI) (CA MNDEX NAME)

Rotation (-).

23953-40-4 CAPLUS Benzeneacetic acid, 4-chloro- α -[3-(trifluoromethyl)phenoxy]-, (+)-(9C1) (CA INDEX NAME)

24091-97-2 24100-51-4 312711-00-5
312711-01-6 312711-02-7 312711-03-8
312711-04-9 312711-05-0 312711-05-1
312711-07-9 312711-05-0 312711-05-1
312711-07-2 312711-08-3
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
[Cuses]
[Crihalomethylphenoxy halophenyl acetate derivative for treatment of insulin resistance, type 2 diabetes, hyperlipidemia and hyperuricemia)
24091-97-2 CAPIUS
Benzeneacetic acid, 4-chloro- a-[3-(trifluoromethyl)phenoxy]-,
2-(benzoylamino)ethyl ester (9CI) (CA INDEX NAME)

24100-51-4 CAPLUS
Benzeneacetic eid, 4-chloro-a-[3-(trifluoromethyl)phenoxy]-,
2-mmino-2-oxoetbyl ester (9CI) (CA INDEX NAME)

312711-00-5 CAPLUS Benzeneacetic acid, 4-fluoro- α -[3-(trifluoromethyl)phenoxy]-, 2-(acetylamino)ethyl ester (9CI) (CA INDEX NAME)

312711-01-6 CAPLUS
Benzeneacetic acid, 4-bromo-α-[3-(trifluoromethyl)phenoxy)2-(acetylamino)ethyl ester (9CI) (CA INDEX NAME)

312711-02-7 CAPLUS Benzeneacetic acid, 4-chloro- α -{3-(trifluoromethyl)phenoxy}-, butylester (9CI) (CA INDEX NAME)

312711-03-8 CAPLUS
Benzeneacetic acid, 4-chloro-a-[3-(trifluoromethy1)phenoxy]-,
2-(dimethylamino)ethy1 ester (9CI) (CA INDEX NAME)

312711-04-9 CAPLUS

Benzeneacetic acid, 4-chloro-a-[3-(trifluoromethyl)phenoxy]-,
2-(dimethylamino)-2-oxoethyl ester (9CI) (CA INDEX NAME)

312711-05-0 CAPLUS Benzeneacetic acid, 4-bromo- α -[3-(trifluoromethyl)phenoxy}-, 2-(acetylamino)ethyl ester, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

312711-06-1 CAPLUS Benzeneacetic acid, 4-chloro- α -[3-(trifluoromethyl)phenoxy]-, 2-(benzoylamino)ethyl ester, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

312711-07-2 CAPLUS

Benzeneactic acid, 4-chloro-a-[3-(trifluoromethyl)phenoxy]-,
2-amino-2-oxoethyl ester, (-)- (SCI) (CA INDEX NAME)

312711-08-3 CAPLUS Benzeneacetic acid, 4-chloro- α -{3-(trifluoromethyl)phenoxy}-, 2-(dienthylamino)-2-oxoethyl ester, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

L6 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
TITLE:

INVENTOR(S):

Preparation of N-substituted heterocyclic derivatives and their pharmacoutical compositions as angiotensin II receptor antagonists
Armaud, Joelle; Assens, Jean Louis; Bernhart, Claude; Perrari, Bernard; Raudricourt, Prederique; Perraut, Pierre
PATENT ASSIGNEE(S):

PATENT ASSIGNEE(S):

Elf Sanofi SA, Fr.

RUP: Pet. Appl., 89 pp.
CODEN: EPXIUM

PATENT TYPE:
DOCUMENT TYPE:
PARILY ACC. NUM. COUNT:

1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 519831	A1	19921223	EP 1992-401715	19920619
R: AT, BB, CH,	DE, DK	, ES, FR,	GB, GR, IT, LI, LU, ML,	PT, SE
FR 2677984	A1	19921224	FR 1991-7685	19910621
PR 2677984	B1	19940225		
JP 05186431	A2	19930727	JP 1992-160995	19920619
US 5274104	Α	19931228	US 1992-901145	19920619
PRIORITY APPLN. INFO.:			PR 1991-7685	19910621
OTHER SOURCE(S):	MARPAT	119:95503	l	

Title heterocycles I [R1, R2 = C1-6 alkyl, C3-7 cycloalkyl, Ph, or phenylalkyl, with said alkyls, Ph, and phenylalkyls possibly substituted by one or more substituents chosen from halo, C1-4 perfluoroalkyl, OH, C1-4 alkyl, Ph); R2' = C1-4 alkyl, Ph); R2' = C1-4 alkyl, Ph); R1R2 = (CH2)n or (CH2)pY(CH2)q; Y = O, S, CH (substituted by C1-4 alkyl, Ph); R1R2 = (CH2)n NS (R5 = R, alkyl, phenylalkyl), C1-4 alkylcarbonyl, C1-4 haloalkylcarbonyl, C1-4 polyhaloalkylcarbonyl, Denzoyl, C-aminoacyl, N-protecting grouply or R1, R2 form part of an indane or adamantane ring; R3 = H, halo-(un)substituted C1-6 alkyl, C2-6 alkenyl, C3-7 cycloalkyl, Ph. phenylalkyl with C1-3 alkenyl, C3-7 cycloalkyl, Ph, phenylalkyl with C1-3 alkyl, phenylalkyl with C2-3 alkenyl, in which the Ph groupe are possibly substituted by halo, C1-4 alkyl, C1-4 haloalkyl, C1-4 oplyhaloalkyl, OH, C1-4 alkoy, R4 - aromatic group; p * q = u; n * 2-11; m = 2-5; X = O, S; Z, t = 0 or one i O, the other is 1; their salts) are prepared with 53 examples. Compds. I containing one or more chiral carbons are obtained as racemates or as mixts. of disstereoisomers. Compds. I are useful in the treatment of cardiovascular or central nervous system afflictions, for glaucoma and diabetic retinopathy. The compds. are angiotensin II receptor antagonists. 147247-75

antagonists.
147247-78.
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SBN (Synthetic preparation); TBU (Therapeutic use); BIOL (Biological study); PRBP (Preparation); USES (Uses) (preparation of, se angiotensin II receptor antagonist)
147247-78-7 CAPLUS
Benzeneacetic acid, ac[4-[(2-buty]-4-oxo-1,3-diszaspiro[4-4]non-1-en-3-yl)methyl]phenoxy]-2-chloro- (9CI) (CA INDEX NAME)

PATENT NO. KIND DATE APPLICATION NO. DATE 19760227 A1

60566-71-4 CAPLUS Benzeneacetic acid, 4-chloro- α-[4-[(trifluoromethyl)thio]phenoxy]-(9CI) (CA INDEX NAME)

60566-72-5 CAPLUS Benzeneacetic acid, 4-chloro- a-{3-{(trifluoromethyl)thio|phenoxy}-, methyl ester (SCI) (CA INDEX NAME)

L6 ANSMER 10 OF 17
ACCESSION NINGER:
DOCUMENT NUMBER:
107:464719 CAPLAIS
107:464719 Polysorphiem of drugs. 2. Halofenate, lorcainide bydrochloride, minoxidil, mopidamol and nitrendipine Kuhnert-Brandstaetter, N.; Voellenklee, R.
CORPORATE SOURCE:
SOURCE:
SOURCE:
COEMS: SCPHA4: ISSN: 0036-8709
DOCUMENT TYPE:
LANGUAGE:
Grean

DOCUMENT TYPE: LANGUAGE: GI

The polymorphism of halofenate (1), minoxidil (II), mitrendipine (III), mopidamol (IV) and lorcainide-2RC1 (V-2RC1) is described. Com. prepns. of II. IV. and V were also enantiotropic and, with the exception of IV. underwent transformation upon heating.

25718-25-2. Halofenate RL: BIOL (Biological study) (polymorphs of)

25718-25-2 CAPLUS
Benzenacectic acid, 4-chloro- a-[3-(trifluoromethyl)phenoxy]-,

2-(acetylamino)ethyl ester (9CI) (CA INDEX NAME)

L6 ANSWER 11 OF 17
ACCESSION NUMBER: 1976:S42840 CAPLUS
DOCUMENT NUMBER: 97:142840 CAPLUS
TITLE: 97:142840 Phenylacetic acid derivatives
Güudicelli, Don P. R. L.; Najer, Henry; Manoury,
Philippe M. J.; Roger, Jean M. L. E.
Synthelabo S. A., Pr.
SOURCE: 57: 57: Demande, 11 pp.
COUMENT TYPE: Patent

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

60566-73-6 CAPLUS
Benzeneacetic ecid, 4-chloro- α-[3-{(trifluoromethyl)thio]phenoxy}-(9C1) (CA INDEX NAME)

60556-74-7 CAPLUS Benzeneacetic acid, 4-chloro-- α -[3-[(trifluoromethyl)thio]phenoxy]-, 2-(acetylamino)ethyl ester [9CI) (CA INDEX NAMS)

60366-75-8 CAPLUS Benzeneacetic acid, 4-chloro- α -{4-{(trifluoromethyl)thio|phenoxy}-, 2-(accylemino)ethyl ester (9CI) (CA INDEX NAME)

L6 ANSWER 12 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUNGER: 1975:31275 CAPLUS
DOCUMENT NUNGER: 22:31275
TITLE: Phenoxyacetic acid derivatives
Schacht, Brich; Mehrhof, Werner; Nowak, Herbert;
Siname, Zdenek; Kayser, Detlev
PATENT ASSIGNEE(8): 62r. Offen, 32 pp.
COUNCEST TYPE: CONSTITUTE OF THE PATENT OF THE PATENT

Patent German 3 DOCUMENT TYPE:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DB 2312344	Al	19740919	DE 1973-2312344	1973031
ZA 7401400	A	19750226	ZA 1974-1400	1974030
HU 168080	P	19760228	HU 1974-ME1715	1974030
US 3992386	Α	19761116	US 1974-449332	1974030
BE 812121	Al	19740911	BE 1974-141858	1974031
PR 2221135	Al	19741011	FR 1974-8184	1974031
DD 110494	C	19741220	DD 1974-177100	1974031
GB 1422926	A	19760128	GB 1974-10723	1974031
NL 7403309	A	19740917	NL 1974-3309	1974031
JP 49125358	A2	19741130	JP 1974-28996	1974031
AU 7466547	Al	19750918	AU 1974-66547	1974031
ES 424179	A1	19770116	ES 1974-424179	1974031
AT 7402044	Α	19770415	AT 1974-2044	1974031
AT 340420	В	19771212		
ES 446532	Al	19771016	BS 1976-446532	1976033
SE 7605082	A	19760504	SE 1976-5082	1976050
US 4053626	A	19771011	US 1976-724232	1976091
DRITY APPLN. INFO.:		•	DE 1973-2312344 A	1973031
			DE 1973-2319642 A	1973041
			DE 1973-2325184 A	1973051
			US 1974-449332 A	3 1974030

DE 1973-2325184 A 19730518

US 1974-449332 A3 19740308

For diagram(s), see printed CA Issue
US 1974-449332 A3 19740308

Twenty-six (cyclic aminolphenoxyacetic acids and their esters I (R = H, Me, Et. Pr. CHZCMMe2, CMe3; Rl = Me, Ph, CLCSH4; R2 = 1-pyrroly1, piperidino, isoindoliny1, 1,2,3,4-tetrahydro-1-quinoly1, its 4-quinoly1 iscomer and 4-quinoly1 iscomer 1-Ne derivative,
4-piperidinopheny1 and -phenoxy1, with blood cholesterol-, glyceride, and
-uric acid-lowering properties, were prepared from (cyclic aminolphenols and RJCKRICOZR (R3 = Br, Cl), or from III (Z = direct bond, p-CSH4O, or
p-CSH4) and Br(CH2)5Br, or by the hydrolysis or esterification of IV (R5 = CN, CONNE), COCL). Thus, 4-piperidinophenol was added to Na in StOM and
the nixture treated with St 2-bromo-2-phenylacetate and refluxed 10 hr to
give I (R = Et, Rl = Ph, R2 = piperidinol PCL salt. Saponification of the free
base gave the acid (I, R = H). Reaction of III (R = Et, Rl = Me, Z =
p-CSH4O) with Br(CH2)5Br in BUGH-XCOO3 gave Et 2-(4-(4-piperidinophenoxy)phenoxylpropionate. Hydrolysis of IV (R1 = p-CLCSH4, R2 =
1,2,3,4-tetrahydro-1-quinoly1, R5 = CN) 2 hr in AcOH-concentrated HCl under N
gave the acetic acid derivative
\$4394-95-55 \$4394-95-65P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation and saponification of)
\$4394-95-5 CAPLUS
Benseneacetic acid, 3-chloro-a-[4-(1-piperidinyl)phenoxyl-, ethyl
ester, hydrochloride (9CI) (CA INDEX NAME)

● HCl

54394-96-6 CAPLUS Benzeneacetic acid, 4-chloro- α -[4-(3,4-dihydro-1(2H)-

54394-99-9P 54395-00-5P 34395-01-6P
54395-10-7P 54395-11-8P 54395-11-0P
54395-15-2P 54395-17-4P 54395-20-9P
RL: SPN (Symthetic preparation); PREP (Preparation)
(preparation of)
54394-99-9 CAPLUS
Benzeneacctic acid, 3-chloro- a-[{4'-(1-piperidinyl)}{1,1'-biphenyl}-4-yl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)

quinolinyl)phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

54395-00-5 CAPLUS

Benseneacetic acid, 4-chloro- a-[[4'-(1-piperidinyl)[1,1'-biphenyl]-4ylloxyl-, athyl ester (9CI) (CA INDEX NAME)

54395-01-6 CAPLUS Benzeneacetic acid, 4-chloro- α -[4-(1H-pyrrol-1-yl)phenoxy]-, ethylester (9C1) (CA INDEX NAME)

S4395-10-7 CAPLUS Benzeneacetic acid, 3-chloro- α -[4-(1-piperidinyl)phenoxy]- (9CI) (CA INDEX NAME)

S4395-11-8 CAPLUS
Benzeneacetic acid, 4-chloro- α-{4-(1-piperidinyl)phenoxy}- (9CI)
(CA INDEX NAME)

54395-13-0 CAPLUS

Benzeneacetic acid, 4-chloro- u-[4-(3,4-dihydro-1(2H)-quinolinyl)phenoxyl-, compd. with N-(1-methylethyl)-2-propanamine (1:1)
(SCI) (CA INDEX NAMC)

CRN 54395-12-9 CMP C23 H20 C1 N O3

CM 2

CRN 108-18-9 CMF C6 H15 N

i-Pr-NH-Pr-i

54395-15-2 CAPLUS Bennencetic acid, 4-chloro- α -[4-(1,2,3,4-tetrahydro-1-methyl-4-quinolinyl)phenoxy)-, compd. with N-(1-methylethyl)-2-propanamine (1:1) (9C1) (CA INDEX NAME)

CM 1

CRN 54395-14-1 CMF C24 H22 C1 N O3

CH 2

i-Pr-NH-Pr-i

RN 54395-17-4 CAPLUS 6-Chloro-a-(4-[4-(1-piperidinyl)phenoxylphenoxyl-, compd. with cyclohexanamine (1:1) (9CI) (CA INDEX NAME)

CH 1

CRN 54395-16-3 CMF C25 H24 C1 N O4

54395-20-9 CAPLUS Benzeneacetic acid, 4-chloro- α -[4-(3,4-dihydro-1(2H)-quinolinyl)phenoxy]-, propyl ester (9CI) (CA INDEX NAME)

L6 ANSWER 13 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
1370:21522 CAPLUS
71:21522 (3-Trifluoromethylphenoxy) (4-chlorophenyl) acetic acid derivatives
Bolhofer, William A.
PATENT ASSIGNEE(S):
SOURCE:
COURN: FAXIA3
DOCUMENT TYPE:
LANKIAGE:
PAMILY ACC. NUM. COUNT:
PATENT INFORMATION:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

NIND DATE 19690221 19700000 PATENT NO.

APPLICATION NO.

Rotation (-).

S4195-21-0 CAPLUS

Benzenescetic acid, 4-chloro- a- [4-(3,4-dihydro-1(2H)-quinolinyl)phenoxyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

IT

54395-25-4
RL: RCT (Reactant); RACT (Reactant or resgent)
(esponification of)
54395-25-4 CAPLUS
Benzenacetic acid, 4-chloro- a-[4-(1,2,3,4-tetrahydro-1-methyl-4-quinolinyl)phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

23953-40-4 CAPLUS
Benxeneacetic acid, 4-chloro-q-[3-(trifluoromethyl)phenoxy]-, (+)-(9C1) (CA INDEX NAME)

Rotation (+).

24136-19-4 CAPLUS Cinchonan-9-01. (8 α ,9R)-, mono[(-)-4-chloro- α -[3-trifluoromethyl]phenoxy|benzenescetate] (salt) (9CI) (CA INDEX NAME)

CRN 23953-39-1 CMP C15 H10 C1 F3 O3

Rotation (-).

CRN 485-71-2 CMF C19 H22 N2 O

Absolute stereochemistry.

24158-91-6 CAPLUS
Cinchonan-9-ol, (8 a,9R)-, mono [(+)-4-chloro-a-[3-(trifluoromethyl)phenoxy]benzeneacetate] (salt) (9CI) (CA INDEX NAME)

CRN 23953-40-4 CMF C15 H10 C1 F3 O3

Rotation (+).

Ahanlute stereochemistry.

24789-71-7 CAPLUS
Acetic acid, (p-chlorophenyl)[{ α,α,α-trifluoro-m-tolyl)oxy]-, ethyl ester (8CI) (CA INDEX NAME)

CRN 485-71-2 CMF C19 H22 N2 O

Absolute stereochemistry.

24158-91-6 CAPLUS Cinchonan-9-ol, (8 α ,9R)-, mono((*)-4-chloro- α -(1-(trifluoromethyl)phenoxy)benzeneacetate) (selt) (9CI) (CA INDEX NAME)

CH 1

CRN 23953-40-4 CMF C15 H10 C1 F3 O3

Rotation (+).

CD1 2

Absolute stereochemistry.

L6 ANSWER 14 OF 17 ACCESSION NUMBER: DOCUMENT NUMBER: TITLE:

CAPLUS COPYRIGHT 2005 ACS on STN
1969:461008 CAPLUS
71:61008 .
Resolving d1-(3-trifluoromethylphenoxy) (4chlorophenyl) acetic ecid
Roberts, Floyd E., Jr.
Merck and Co., Inc.
Fr., 3 pp.
CODEN: FREXAK
Patent
French
T: 1 INVENTOR(S): PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

PATENT NO. KIND DATE APPLICATION NO. DATE

FR 1538266 19480210

GB 1123008 GB

PRIORITY APPLEN. INFO.:

AB The title process is effected by treating the d1-acid (1) with cinchonidine (11) to form the d-acid II salt (IIIa), separating the IIIa from the solution, treating it with acid to give the d-acid (1a), and allowing the mother liquor to stand for a prolonged time to precipitate the 1-acid II salt (IIIb), which is isolated and treated with acid to give the 1-acid (1b). Thus, 100 g. I and 8.9.3 g. II are added to 2000 cc. Me2CBOB at ambient temperature, the temperature is raised to the point of reflux (83°), cooled to 55°, kepf 2 hrs., the solid collected, washed with 200 cc. hot Me2CBOB, dried to give 110 g. crude IIIa, m. 204-6°, which is refluxed with 2000 cc. ECO 400 cc. ECO 400 cc. MeOH, stirred, cooled overnight, and the product filtered to give \$1.7 g. IIIa, m. 316-17° (decomposition), [a]D -9.9.* IIIa (7.1 g.) is added to a mixture of 200 cc. ECO, 200 cc. ECO, and 4 cc. concentrated H2SO4 and the organic layer separated to give

2.95 g. Ia. s. 98-100.5°, [a]D 95.3° (c, 0.5, MeOH).

The mother-liquor which provided the crude IIIa is heated to obtain a complete solution, cooled, the small amount of solid removed at 30°, and the filtrate stirred i night at ambient temperature to give 43.7 g. IIIb, m. 200.5-1.5°, [a]D -95.3°; using the method for isolating Ia. \$1.9 g. IIIb is converted to 2.7 g. Ib, m. 98-100°, [a]D -99° (0.5V in MeOH). I, Ia, and Ib effectively reduce the cholesterol concentration in blood serum, and ameliorate the effects due to deposition of blood lipids; the derived esters and amides are said to have a similar therapeutic action.

IT 24136-19-49 24156-91-69

RN 24136-19-4 24156-91-69

RN 24136-19-4 (ASUB) - , mono[(-)-4-chloro-α-(3-(crifluoromethyl)phenoxylbenzeneacetate) (salt) (9CI) (CA INDEX NAME)

CH 1

CRN 23953-39-1

23953-39-1 23953-40-4
RL: PROC (Process)
(resolution of)
23953-39-1 CAPLUS
Benzeneacetic acid, 4-chloro- α-[3-(trifluoromethyl)phenoxy]-, (-)(9C1) (CA INDEX NOME)

23953-40-4 CAPLUS Benzeneacetic acid, 4-chloro- α -{3-{trifluoromethy1}phenoxy}-, (*)-(9C1) (CA INDEX NAME)

Rotation (+).

L6 ANSWER 15 OF 17
ACCESSION NUMBER:
DOUBLEMT NUMBER:
1111E:
HYPERTOR(S):
PATENT ASSIGNRE(S):
SOURCE:
Bracco Industria Chimica S.p.A
S. African, 41 pp. 71:12837
Radicopaque compounds
Felder, Ernst; Pitre, Davide
Bracco Industris Chimica S.p.A.
S. African, 41 pp.
CODEN: SYMIAS
Patent
English
1

DOCUMENT TYPE: LANGUAGE: PAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE 19681022 ZA 6803089 DB 1767583

PR 1596452 19710000

GB 122852 GB
US 3551260 19710000 US
US 3551260. 19710000 US
RITY APPLN. INFO.:

The title compde: (I), useful in cholecystography, bronchography, and hysteroslpingography, are prepared by alkylating the appropriate
[3-acylamino-2,4,6-triiodophenoty] stylalkanoic acids. Thus, 0.1 mole
3-acctanino-2,4,6-triiodophenoty] stylalkanoic acids. Thus, 0.1 mole
3-acctanino-2,4,6-triiodophenoty liphenotylacetate
(III) added at 80-90's, and the mixture refluxed with stirring 40
hrs., added to 400 cc. B2O, and extracted with 700 cc. ExcOMe to give 49.5 g.
Bt a-(3-acctanido-2,4,6-triiodophenoty) phenylacetate, n.
147-8' (AcOR1). This compound (34.5 g.) was saponified by refluxing
with 3 g. NaOH in 250 cc. NeOH and 500 cc. REO with stirring 1 hr. and
the mixture worked up to give 888 c-(3-acctanido-2,4,6triiodophenoxy) phenylacetic acid (IV), n. 223' (MeoU), Rf 0.22 on
siO2 gel 07254 (19:1 CHCl3-AcOH). A solution of 0.015 mole Eti in 1 cc.
Me2CO was added to 0.01 mole IV in 10 cc. 4N ROH dropwise with stirring at
30-5' during 15 min. stirring continued 3 hrs., the mixture diluted
with 150 cc. BTO and extracted with EZO, and the aqueous phase acidified with

with 150 cc. H20 and extracted with EtO, and the aqueous phase acidified with HCl to give 6.95 g. crude a.(3-(N-ethylacetamido)-2.4.6-triiodophenoxy)phenylacetic acid (1, R = Et, R1 = H, Ar = Ph), n. 135-40°. Trituration with a small amount of AcORt gave material m. 160°, neutral equivalent 700, Rf 0.52 om 5102 gel (19:1 CRC13-AcOH). The Na and N-mathylglucamine salte were prepared 1V (6.7 g.) was treated with 2.15 g. Mel in 10 cc. 4N KOR solution at 40° as described above to give 74.5% I (R = R1 = Me, Ar = Ph) (1a), n. 200-3° (ECOH), neutral equivalent 677, Rf 0.47 om 5102 gel 07254 (19:1 CRC13-AcORt). The Na and N-mathylglucamine salts were prepared 3-Propiomamido-2.4.6-triiodophenol (6.77 g.) was added to 2.4 g. Na in 60 cc. EKOH, 26.7 g. 111 added at 80-90°, and the mixture refluxed with stirring 40 hrs. and worked up as described to give 93 wa (3-propiomamido-2.4.6-triiodophenoxy)phenylacetate, m. 173-5°. This eater (35 g.) was sagonified to give 93 wa (3-propiomamido-2.4.6-triiodophenoxy)phenylacetic acid (V), n. 205-6° (MeOH), Rf 0.32 on 8102 gel G7544 (19:1 CRC13-AcOH). V (6.77 g.) in 10 cc. 4N KOH was treated with 2.13 g. Mel in 1 cc. Me2CO at 40° 3 hrs. and worked up to give 54. g. 1 (R = MG, R1 = EL, Ar = Ph) (1c), m. 92° (decomposition). The crystalline form of this compound was modified by 4- to

gave Rt &-(3-acetamido-2,4,6-triiodophemoxy)-p-tolylacetate, m.
2119 (ExcH). This eater was asponified to give a (3-acetamido-2,4,6-triiodophemoxy)-p-tolylacetic acid (VII). Rtl (2.35 g.) in 1.25 cc.
of MeZCO was added dropwise with stirring at 10° to 0.01 mole of
VII in 10 cc. 4N KOH to give 6.5 g. I (R = Rt, Rl = Me, Ar = p-MeCSH4), m.
175° (AcORt), Rf 0.40. The Na and N-methylglucanine salts were
prepared VII (6.77 g) was similarly treated with 2.15 g. MoI in 100 cc. 4N
KOH to give 6.55 g. I (R = Rl = Ne, Ar = p-MeCSH4), m. 200° (ExcH),
Rf 0.15. The Na and N-methylglucanine salts were prepared
a-(3-Acetamido-2,4,6-triiodophemoxy)-m-tolylacetic acid (VIII), m.
175°, Rf 0.3, was prepared in the same way as the p-iscomer.
I (R = Rt, Rl = Me, Ar = n-MeCSH4) m. 115° after sintering at
55°, Rf 0.5°. The Na and N-methylglucanine salts were prepared
R-RH = Me, Ar = n-MeCSH4) m. 115° after sintering at
55°, Rf 0.6°. The Na and N-methylglucanine salts were prepared from
VIII. IV (12.4 g.) was treated with 16.2 g. Rt a-bromo-otolylacetate in the presence of StOMa 15 hrs. to give Rt
a-(3-acetamido-2,4,6-triiodophemoxy)-o-tolylacetate. This ester was
saponified to give a -(3-acetamido-2,4,6-triiodophemoxy)-o-tolylacetic
acid (IX), m. 175-6°, Rf 0.21. IX (27.1 g.) was treated with 8.4
g. MeI in 40 cc. of 4N KOH and 4 cc. of MeZCO to give 11.7 g. I (R = Rl =
No, Ar = o-NecSH4), m. 186° (AcORt), Rf 0.54. The Na and
N-methylglucanine salts were prepared I No 13.1 g.) was treated with 7.05
g. Eti in 30 cc. 4N KOH and worked up to give 63% of I (R = Et. R = Ne, Ar
a-NecSH4), m. 180-2° (AcORt), Rf 0.54. The Na and
N-methylglucanine salts were prepared I No 13.1 g.) in 80 cc. MeZCO was added
to a solution of 0.00 mole II and 0.31 mole 83% KOH in 95 cc. R20 and the
aixture stirred 3 hrs. at 40° and worked up to give 53 of I (R = Et. R = Ne, Ar
a-NecSH4), m. 180-2° (AcORt), Rf 0.55. The Na and
N-methylglucanine salts were prepared MeI (17 g.) in 80 cc. MeZCO was added
to a solution of 0.00 mole II and 0.31 mole 83% KOH in 95 cc.

23189-41-5 CAPLUS Benzeneacetic acid, α -(3-(acetylamino)-2,4,6-triiodophenoxy]-4-iodo (9CI) (CA INDEX NAME)

23189-42-6 CAPLUS meacetic acid, α-[3-(acetylmethylamino)-2,4,6-triiodophenoxy]-4-(9CI) (CA INDEX NAME)

23280-17-3 CAPLUS Benzeneacetic acid, α-[3-(acetylethylamino)-2,4,6-triiodophenoxy]-4-iodo- (9CI) (CA INDEX NAME)

L6 ANSMER 16 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1947:19501 CAPLUS
DOCUMENT NUMBER: 41:19501
ORIGINAL REPERENCE NO.: 41:3902d-i,3903a-i,3904a-i,3905

CORPORATE SOURCE: DOCUMENT TYPE: LANGUAGE: AB of "

ANSMER 16 OF 17 CAPLUS COPPRIGHT 2005 ACS on STN
SISION NUMBER:
41:19501
SIGNIA NUMBER:
41:19501
SIGNIA REFERENCE NO.:
1:3903a-i,3903a-i,3903a-i,3903a-i,3903a-i,3907a-i,3903a

concentration of 10 p.p.m. After 4 days of growth the length of the primary

of each plant was measured. Inhibition of growth was determined by subtracting the average length of the primary roots of the treated seeds from that of the control seeds, expressed in percentage. In Test 8 [Kidney-Bean Single-Droplet Mater Test) kidney beans were placed in pots containing 1 lb. soil. After 7-10 days each plant was treated with 0.02 mL, of an aqueous solution containing 200 p.p.m. (4 y) of the compound to be tested and 0.5% of Carbowax 1500. Treatment was applied to the upper surface of one of the primary leaves at a point along the midrib approx. one-eighth in. from the point of attachment of the blade and periods. On the 10th day after treatment the fresh weight of that portion of each plant above the second node was determined Controls currested and also treated with I were included in each test. Test C (Kidney-Bean Single-Droplet Oil Test) was

essentially the same as Test B but 0.01 mL, of solution was applied containing Sy in oil of the compound to be tested. Tri-Bu phosphate, at a concentration of 0.23, was used as a co-solvent for compds, not directly soluble or miscible with oil. The introduction of I could be accomplished only in this way. Close numerical agreement was not necessarily expected between the 3 tests. The degree of inhibition produced by I in Tests B and C at different times of the year was not wholly identical and was affected by rate of growth. Test A was the most reproducible and formed the primary basis for detection of inhibitory activity and was reliable in separating those compds. that possess a high inhibitory activity for most broad-leaved plants from those with little or no activity at the same concentration Satisfactory agreement was found between Tests A and B with discrepancies in the direction of a lower activity by Test B. Variation between separating active inhibitors from those with low activity. Compds. showing high activity are promising for use as herbicides. The compds. tested have been classified into groups according to activity and the results under 3 tests reported. The following, as Group I, are compds. possessing 800 or more of the activity of I in Test A: (2-bromo-4-chlorophenoxy) acetic acid, 800 (2-4,5-trichlorophenoxy) acetics acid, 800 (2-4,5-trichlorophenoxy) acetics acid, 800 (2-4,5-trichlorophenoxy) acetics acid, 800 (2-4,5-trichlorophenoxy) acetics acid, 800 (2-4,6-thlorophenoxy) acetics

[2-hydraxy-3-[tris[hydraxymethyl]setbylamino]-propyl]- a.(2,4-dichlorophenoxylsectamids-RCL. The following, as Group II, are compds. possessing 50-789 of the activity of I in Test A: 2-asinoethanol bis-[4(a-chlorophenoxylsectate]; (d-bromophenoxyl acetite acid; d-Cl-acrboxymethoxy-3-methyl-5-bromobenoxyl alylycolic acid; d-Cl-acrboxymethoxysylacetic acid; 1-chloron-phthylacetic acid; (a-chlorophenoxysylacetic acid; 1-chloron-phthylacetic acid; 4-(4-chlorophenoxysylacetic acid) -1-maphthalenseulfonic acid; 4-(4-chlorophenoxysylacetic acid; a-bromobenoxylacetic acid; a-(4-chlorophenoxylacetic acid; a-(4-chlorophenoxylacetic acid; a-(4-chlorophenoxylacetic acid; a-(4-chlorophenoxylacetic) -1-maphthalenseulfonic acid; (a-chlorophenoxylacetic acid; a-(4-chlorophenoxylacetic) -1-maphthalenseulfonic acid; (a-chlorophenoxylacetic acid; a-bromob-y-phenylpropicnyl chlorids; 1,5-dichloro-2-bromobenoxy-phenylpropicnyl chlorids; 1,5-dichloro-2-bromobenoxy-phenylpropicnyl chlorids; 1,5-dichloro-2-bromobenoxy-phenoxy-phenylpropicnyl chlorids; 1,5-dichlorophenoxyl-acetic acid; (2,4-dichlorophenoxy)-acetic acid; (2-achtyl-4-dichlorophenoxy)-acetic acid; (2-achtyl-4-dichlorophenoxy)-acetic acid; (2-achtyl-4-dichlorophenoxy)-acetic acid; (2-

acid: Et 8-(4-chlorophanyl)thioglycolate: 2-hydrony.3-carboxy.5-chlorotoluene: 4-hydroxy-3.5-dibromobensoic acid: 2-bydroxyethyl
2,4-dichlorophanyl ether; N#-(iodomocry)lsulfanilamids;
2-methyl-3-butylaminopropyl 4-(haryloxy)bencote-HCl; (2-methyl-4-chlorophanoxy)-acetate: (1-(2-methyl-4-chlorophanoxy)-acetate: (1-(2-methyl-4-chlorophanoxy)-acetate; (2-methyl-phanoxy)-acetate: (2-dichlorophanoxy)-acetate; (2-methyl-phanoxy)-acetate: acid: 4-nitrobensoyl chloride; octyl dihydrogen orthophapshate; 2-isopropylaminoethyl
2-butoxybensoate-HCl; Pr (2-methyl-4-chlorophenoxy)-acetate; iso-Pr phenylcarbanate: Ba -pyridinasulfonate; sulf-diserezine;
2,3-5-tribromobensoic acid: 3,3,5-trichlorophanoxy-acetate; iso-Pr phenylcarbanate: Ba -pyridinasulfonate; sulf-diserezine;
2,3-5-tribromobensoic acid: 3,3,5-trichlorophanoxy-acetate; iso-Pr phenylcarbanate: Ba -pyridinasulfonate; sulf-diserezine;
2,4-5-trichlorophany)-2-trichlorophanoxy-acetanoxy-phenylcarbanate: B-(2,4,5-trichlorophanoxy)-2-trichlorophanoxy-acetanoxy-phenylcarbanate: B-(2,4,5-trichlorophanoxy)-2-trichlorophanoxy-acetanide1-(3-(trichlorophany)-1-phanoxy-1-3, -peoporyponaps; NH4 2,3,5-triiodomobensoric1-(1-(trichlorophanoxy-1-phanoxy-1-y-1-phanoxy-1-x-triodomobensory-acetanideNHCl. The following, as Group IV-A, are compds. showing less than 294 of the activity of I in Test A and 50 or more of the activity of I in either
Test B or Test C: o-amino-B-(2,4-dichlorophanoxy)-propionamide:
--amino-B-(3-intro-4-hydroxyphany)-propionic acid intro-amino-acid intro-acetal-acid acid:
--amino-B-(3-intro-4-hydroxyphany)-propionic acid intro-acid:
--amino-B-(3-intro-4-hydroxyphany)-propionic acid intro-acid:
--amino-B-(3-intro-acid:
--amino-B-(4-intro-acid:
--amino-B-(4-intro-acid:
--amino-B-(4-intro-acid:
--amino-B-(3-intro-acid:
--amino-B-(3-int

dibromopropylamine-HBr; salicylic acid. The following, as Group IV-B, are compds. insufficiently soluble in water for Test A to be performed but exhibiting 500 or more of the activity of I in either Test B or Test C: ally1 (4-chlorophenoxy) acetate; 2-aminonaphthoic acid; amy1 (2,4-dichlorophenoxy) acetate; 2-aminonaphthoic acid; amy1 (2,4-dichlorophenoxy) acetate; isoamy1 (2,4-dichlorophenoxy) acetate; isoamy1 (2,4-dichlorophenoxy) acetate; isoamy1 (2,4-dichloropheny1) (trichloromethy1) methane; 1,1'' (bis-2-naphthoi) phenylmethane; 2-bromo-3,5-dichlorobenzamide; 2-bromo-3,5-dichlorobenzamide; 2-bromo-3,5-dichlorobenzamide; 2-bromo-3,5-dichlorobenzamide; 2-bromo-3,5-dichlorobenzamide; 2-bromo-3,5-dichlorobenzamide; 2-bromo-3,5-dichlorobenzomide; 2-bromo-1,5-dichlorobenzomy1 (2,4-dichlorophenoxy) acetate; 2-bromo-1,5-dichlorobenzomy1 (2,4-dichlorophenoxy) acetate; 2-bromo-phenoxy) acetate; (1-chlorophenoxy) acetate; (1-chlorophenoxy) acetate; (1-chlorophenoxy) acetate; (1-chlorophenoxy) acetate; (1-chlorophenoxy) acetate; (2-chloropheny1) urea; 2-chloroethy1 (4,4-dichlorophenoxy)-3-dichlorophenoxy)-3-dichlorophenoxy)-3-dichlorophenoxy)-3-dichlorophenoxy)-3-dichlorophenoxy)-3-dichlorophenoxy)-3-dichlorophenoxy)-3-dichlorophenoxy)-3-dichlorophenoxy-3-dic

dimethylphenoxyscetamidolbiphenyl; 1-(4-ethoxyphenyl)-1-phenylures; Et 2-bromo-3,5-dichlorobentoate; Et (4-bromophenoxy)acetate; St (4-chlorophenoxy)scetate; Z-ethylhexyl (2,4-dichlorophenoxy)acetate; methallyl (4-chlorophenoxy)acetate; Bt (4-chlorophenoxy)acetate; methallyl (4-chlorophenoxy)-acetate; methallyl (4-chlorophenoxy)-a-chlorophenoxy-acetatiod)saxobnases; ac(2-mbyl-6-(4-chlorophenoxy)-2,5-dichlorophenoxyacetanido)saxobnases; ac(2-mbyl-6-(2-mbyl-4-dichlorophenoxy)-2,5-dichlorophenoxy-acetate; acetalyl-4-dichlorophenoxy-acetate; (2-hydroxy-1-maphtyl)-1-piperidylphenylmathans; 2-nitrobutyl (2,4-dichlorophenoxy-acetate; acetalyl-4-dichlorophenoxy-1-aphtyl)-1-piperidylphenylmathans; 2-nitrobutyl (2,4-5-trichlorophenoxy)acetate; acetalyl-1-piperid

bromophenyl]dithiocarbamate; 4-bromophenyl 1-naphthalenecarbamate; (2-bromo-4-phenylphenoxy)scetic acid; 4-bromophenyl)-3-phenylures; 1-(3-bromophenyl)-3-phenylures; 1-(4-bromophenyl)-3-phenylures; 1-(4-bromophenyl)-3-phenylures; 1-(4-bromophenyl)-3-phenylures; 1-(4-bromophenyl)-3-phenylures; 1-(4-bromophenyl) a,a,a-trichloroacetanide; 2-butylaminoethyl a,butoxybenocate=ECl; 2-butylaminoethyl diphenylacetate=ECl; 2-butylaminoethyl 2-butylaminoethyla

dibromodihydrocinnemic acid; 4,6-dibromo-1,3-dihydroxybenzene; (2,6-dibromo-4-methylphenoxy) acetic acid; 2,4-dibromopheny) phenylcarbamate; α, β-dibromo-γ-phenylpropionanide; bis (2-butyroxyethyl) sulfone; 2,5-dichloro-4-minobenzenesulfonic acid; 2,4-dichloroanisole; 2,6-dichlorobenzenomindophenol sodium salt; 2,5-dichlorobenzenomindophenol sodium salt; 2,5-dichlorobenzyl methorobenzenomindophenol sodium salt; 2,5-dichlorobenzyl methorobenzyl selfone; 2,4-dichlorobenzyl mercaptan; bis (2,4-dichlorobenzyl) sulfone; 2,4-dichlorobenzyl sulfone; 5,7-dichloro-3-coumaranome; N,2,4-trichloromocatalinide; 2,6-dichloro-3-enthyl-4-methyl) formation; 2,4-dichloromomaranome; N,2,4-trichloromocatalinide; 2,6-dichloro-3-enthyl-4-methylnionianide; (2,6-dichloro-4-methylphenoxy) acetic acid; (2,4-dichloro-6-methylphenoxy) extension (2,4-dichloro-6-methyl) hydraxin; α (2,4-dichloro-6-methyl) extension; (2,4-dichloro-6-methyl) hydraxin; α (2,4-dichloro-6-methyl) extension; (2,4-dichloro-6-methyl) hydraxin; α (2,4-dichloro-6-methyl) hydraxin; α (2,4-dichloro-6-methyl) extension; (2,4-dichloro-6-methyl) hydraxin; α (2,4-dichloro-6-methyl) extension; (2,4-dichloro-6-methyl) hydraxin; α (2,4-dichloro-6-methyl) extension; (2,4-dichloro-6-methyl) extension; (2,4-dichloro-6-methyl) extension; (2,4-dichloro-6-methyl) extension; (2,4-dichloro-6-methyl) extension; (2,4-dichloro-6-methyl) extension; (2,4-dichloro-

57226-04-7 CAPLUS Benzeneacetic acid, 4-chloro- a-(4-chlorophenoxy)- (9CI) (CA INDEX NAME)

L6 ANSWER 17 OF 17 CAPLUS COPYRIGHT 2005 ACS ON STN ACCESSION NUMBER: 1947:18896 CAPLUS CORIGINAL REFERENCE NO: 41:37741,3775a-1,3776a-d Mew compounds se plant growth: AUTHOR (S) Newman, Melvin R · Press New compounds as plant growth regulators Newman, Melvin S.; Fones, Mm.; Renoll, Mary

CORPORATE SOURCE: SOURCE:

Ohio State Univ., Columbus Journal of the American Chemical Society (1947), 69,

SOURCE:

Journal of the American Chemical Society (1747), 07,
718-23
CODEN: JACSAT; ISSN: 0002-7863
JOURNAL TYPE:
LANGUAGE:

Davisleble
AB The following compds. were prepared for testing for plant growth regulating activity (cf. Norman, CA. 41, 3902c). Substituted phenoxyacetic acids were prepared by condensing the phenol with BrCH2CO2E: in ECOH and excess concentrated aqueous K2CO3, followed by saponification (yield on basis of phenol):

activity (cf. Norman, C.A. 41, 3902c). Substituted phenoxyacetic acids were prepared by condensing the phenol with BrCH2002Et in SCOH and excess concentrated aqueous K2003, followed by saponification (yield on basis of mol):

3,5-di-Cl, m. 117.5-18* (m.ps. corrected), 50.7%; 2-iodo-4-chloro, m. 116-40*, 40.3%; 2-chloro-4-iodo, m. 118-41*; 2,4-di-Br, m. 151.8-3.5*, 65% (Me ester, bl 150*, 65%); 2,4-di-I, m. 151.8-3.5*, 65% (Me ester, bl 150*, 65%); 2,4-di-I, m. 155-7*, 21; 2-sethyl-4-chloro, m. 124-6*, 34.5%; 2-acetyl-4-chloro, m. 174-6*; 3-cthyl-4-chloro, m. 109-12*, 40.5%; 2-allyl-4-chloro, m. 104-6*, 36.3%; 2-propyl-4-chloro, m. 115-18*, 30.3%; 2-sec-butyl-4-chloro, m. 124.5.5* 50% (Et ester, bl 126-2*, 89.3%); 2-broon-4-tert-Bm, m. 110-8-11.2*, 198; 2-smyl-4-chloro, m. 127.5*, 9.5*, 10.6%; a (pp. chlorophenyl)-3,-4-dichloro, m. 128.5-40.5% 68.7%; 2-cyclohexyl-4-chlorophenyl)-3,-4-dichloro, m. 128.5-40.5% 68.7%; 2-cyclohexyl-4-chlorophenyl)-3,-4-dichloro, m. 128.5-40.5% 68.7%; 2-cyclohexyl-4-chlorophenyl)-3,-4-dichlorophenyl-4-dichloro, m. 144.5-65.8*, 20% m-trifluoromethyl (from the phenol and CCIGNO20** with aqueous NaON), m. 139-40.5%; 27.9%; 4-bromo-2-chloro, m. 144.5-65.8*, 20% m-trifluoromethyl-4-chlorophenyl-4-chloro (II) (by hydrolysis of the ester 1.5 h. with concentrated RCI and AcON), m. 127-3*, 73% (Et ester, bl 140-2*, 73%); 2-sethyl-4-fluoro, m. 147-3*, 51%; 2-(cyanomethyl)-4-chlorophenyl-4-c

pane derive, were prepared from the phenol and epichlorohydrin with aque

pane derive. were prepared from the phenol and epichlorohydrin with aque 200 48 h. at room temperature: 1-(2,4-dichlorophenoxy), bl 107-9*, nD25 1.5565, 10%; p-chlorophenoxy analog, bl 80-3-5*, nD25 1.5430, 36%; m-trifluoromethylphenoxy analog, bl 80-3-6*, nD25 1.5430, 36%; m-trifluoromethylphenoxy analog, bl 103-5*, nD25 1.5450, 23%; 2-methyl-4-chlorophenoxy analog, bl 103-5*, nD25 1.5350, 23%; 2-methyl-4-chlorophenoxy analog, bl 103-5*, nD25 1.5385, 32%, 4-Methyl-4-trichloromethyl-2,5-cyclohexadienone oxime m. 102-3*, 52.6%, 4-Methyl-4-trichloromethyl-2,5-cyclohexadienone occarboxymethyl oxime m. 118-5-20*, 1, 17%, 2-Hydroxyethyl 2,4-dichlorophenyl ether, bl 121-6*, m. 57-6* was prepared in 44% yield from 2,4-C12C6HDX and Br(CH2)208 in xylene 5 h. at 150°. 8·(2,4-Dichlorobenyyl)thioisoures-HCl m. 222-7*
β-(p-chlorophenoxy)propionitrile m. 46.4-7*, 40%. (2,4-Dichlorophenxyl)upropionitrile m. 46.4-7*, 40%. (2,4-Dichlorobenzylamercapto)acetic acid m. 61-2*, 75%; (p-chlorobenzylamercapto)acetic acid m. 61-2*, 75%; (p-chlorobenxyl)acetic acid m. 135-7*, (2,4-Dichlorophenoxy) butyromitrile m. 44.5-5.3*, 31%; (2,4-dichlorophenoxy) derivative bi 13.5-3-7*, m. 46-8*, nD20 1.10.2 (2,4-Dichlorophenoxy) derivative m. 121.5-2*, 86%; (2-methyl-4-chlorophenoxy) acidenoxy derivative m. 121.5-2*, 86%; (2-methyl-4-chlorophenoxy) acidenoxy derivative m. 121.5-2*, 86%; (2-methyl-4-chlorophenoxy) acetamide m. 80-80.5* 95.9*, N-(2-Hydroxyisopropy)) - a-(2-methyl-4-chlorophenoxy) acetamide m. 84-5* 100%; 2,4-dichlorophenoxy) acetamide m. 80-80.5* 95.9*, N-(2-Hydroxyisopropy)) - a-(2-methyl-4-chlorophenoxy) acetamide m. 81-5-2.5*, 73 %; (2-methyl-4-chlorophenoxy) derivative m. 131.5-2.5*, 73 %; (2-methyl-4-chlorophenoxy) derivative m. 131.5-2.5*, 73 %; (2-methyl-4-chlorophenoxy) derivative m. 131.5-2.5*, 73 %; (2-methyl-4-chloro

57226-04-7 CAPLUS Benzeneacetic acid, 4-chloro- α -(4-chlorophenoxy)- (9CI) (CA INDEX NAME)

h., gives 66% Et 2,4-dichlorophenylcarbonate, bl 98-9°, nD20 1.5180; 2,4-di-Br analog b2 135-6°, nD20 1.5574, 79%. 2,3-Epoxypro

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SESSION WILL BE HELD FOR 60 MINUTES STN INTERNATIONAL SESSION SUSPENDED AT 13:37:27 ON 10 NOV 2005